

Access DB# \_\_\_\_\_

**SEARCH REQUEST FORM**

Scientific and Technical Information Center

Requester's Full Name: David Lukton Examiner #: 71263 Date: 02-26-03  
 Art Unit: 1653 Phone Number 308-3213 Serial Number: 09-738742  
 Mail Box and Bldg/Room Location: \_\_\_\_\_ Results Format Preferred (circle): PAPER DISK E-MAIL  
Mailbox 9B01; Exr Rm: 9B05

If more than one search is submitted, please prioritize searches in order of need.  
 \*\*\*\*\*

Title: Novel lipopeptides as antibacterial agents.

Applicants: HILL, JASON; PARR, IAN; MORYTKO, MICHAEL; SIEDLECKI, JIM; YANG YU, XIANG; SILVERMAN, JARED; KEITH, DENNIS; FINN, JOHN; CHRISTENSEN, DALE; LAZAROVA, TSVETELINA; WATSON, ALAN D.; ZHANG, YAN SHARON

Earliest Priority Date: 12/15/99

\*\*\*\*\*

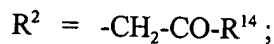
Applicants are claiming the genus of compounds on the attached sheet.



wherein  $R^{10}$  can be anything

wherein  $R^{11}$  is hydrogen or  $-N(R^{12})(R^{13})$

wherein  $R^{12}$  and  $R^{13}$  can be anything



wherein  $R^{14}$  is a ring (aryl or heteroaryl or cycloalkyl or heterocycloalkyl);



wherein  $R^{15}$  can be anything

and wherein  $R^{16}$  is an aryl group.

Point of Contact  
P. Sheppard

Telephone number: (703) 308-4499

**STAFF USE ONLY****Type of Search****Vendors and cost where applicable**

Searcher: _____	NA Sequence (#) _____	STN _____
Searcher Phone #: _____	AA Sequence (#) _____	Dialog _____
Searcher Location: _____	Structure (#) _____	Questel/Orbit _____
Date Searcher Picked Up: _____	Bibliographic _____	Dr.Link _____
Date Completed: <u>2/28/03</u>	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time: _____	Fulltext _____	Sequence Systems _____
Clerical Prep Time: _____	Patent Family _____	WWW/Internet _____
Online Time: _____	Other _____	Other (specify) _____

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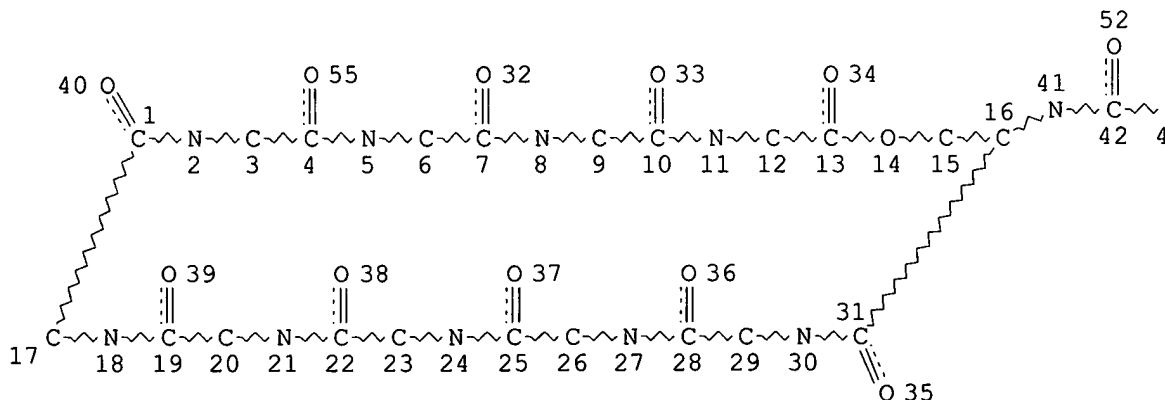
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FILE COVERS 1907 - 28 Feb 2003 VOL 138 ISS 9  
 FILE LAST UPDATED: 26 Feb 2003 (20030226/ED)

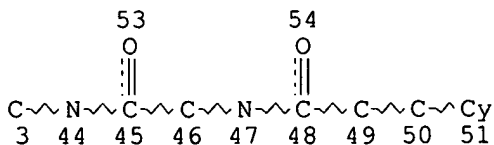
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Page 1-A

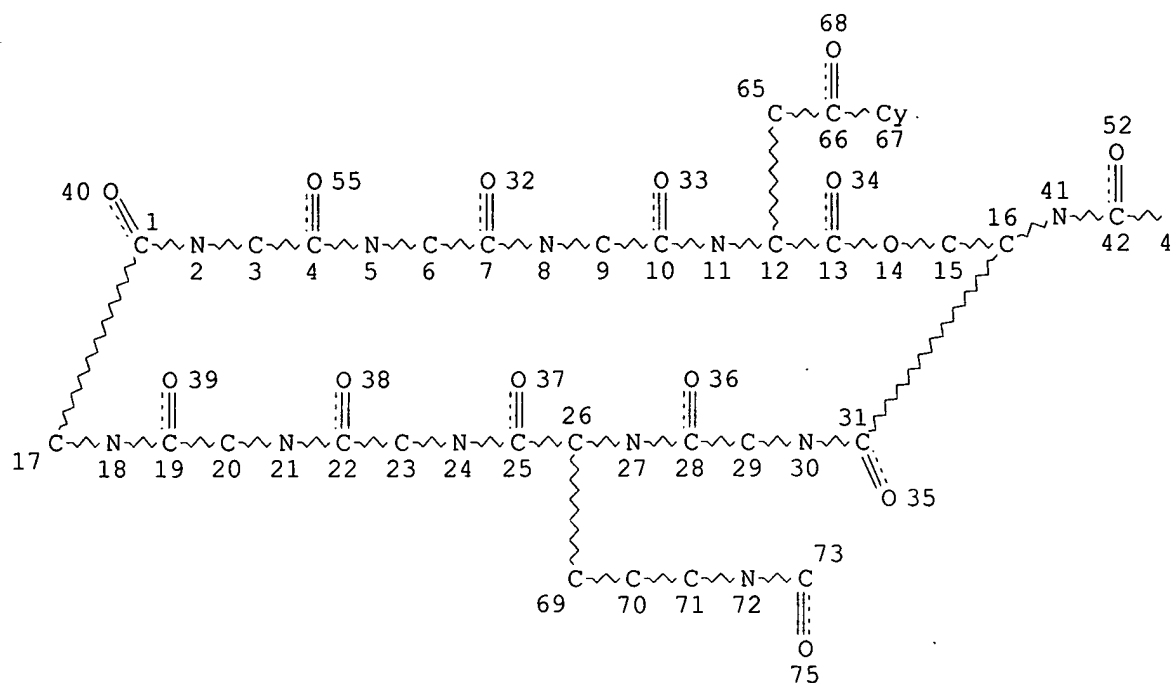


Page 1-B  
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 DEFAULT ECLEVEL IS LIMITED

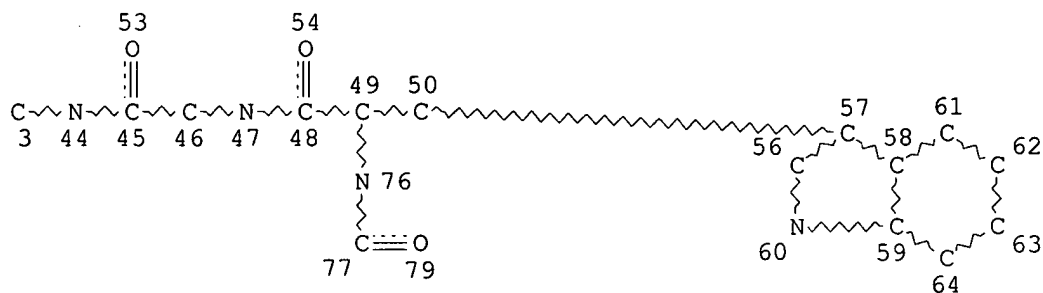
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 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 55

STEREO ATTRIBUTES: NONE

L14 612 SEA FILE=REGISTRY SSS FUL L12  
L19 STR



Page 1-A



Page 1-B

NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 76

STEREO ATTRIBUTES: NONE

L21 184 SEA FILE=REGISTRY SUB=L14 SSS FUL L19  
L22 7 SEA FILE=HCAPLUS ABB=ON PLU=ON L21

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=>

=> d ibib abs hitrn l22 1-7

L22 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2001:453092 HCAPLUS  
DOCUMENT NUMBER: 135:61555

TITLE: Preparation of lipopeptides as antibacterial agents  
 INVENTOR(S): Hill, Jason; Parr, Ian; Morytko, Michael; Siedlecki, Jim; Yu, Xiang Yang; Silverman, Jared; Keith, Dennis; Finn, John; Christensen, Dale; Lazarova, Tsvetelina; Watson, Alan D.; Zhang, Yan  
 PATENT ASSIGNEE(S): Cubist Pharmaceuticals, Inc., USA; et al.  
 SOURCE: PCT Int. Appl., 202 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044274	A1	20010621	WO 2000-US34205	20001215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000016467	A	20020827	BR 2000-16467	20001215
EP 1246838	A1	20021009	EP 2000-991867	20001215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2002002887	A	20020812	NO 2002-2887	20020617
PRIORITY APPLN. INFO.: US 1999-170946P P 19991215				
US 2000-208222P P 20000530				
WO 2000-US34205 W 20001215				

OTHER SOURCE(S): MARPAT 135:61555  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Lipopeptides I [R is -N(B)(X)n-A; B is X'RY, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; RY is hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl; X, X' are C:O, C:S, C:NH, C:NRX, S:O or SO<sub>2</sub>; n is 0 or 1; RX is alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy; A is H, NH<sub>2</sub>, NHRA, NRARB, heteroaryl, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy) or when n is 0, then A is P(O)(OR<sub>50</sub>)OR<sub>51</sub>, P(O)R<sub>52</sub>R<sub>53</sub>, or P(O)(OR<sub>50</sub>)R<sub>53</sub>, where R<sub>50</sub>-R<sub>53</sub> are alkyl; alternatively B and A may form a 5-7 membered heterocyclic or heteroaryl ring; R<sub>1</sub> is defined similarly to R (with provisos); R<sub>2</sub> is CH<sub>2</sub>CR<sub>17</sub>R<sub>18</sub>-ring, where R<sub>17</sub> and R<sub>18</sub> are hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl, etc. or CR<sub>17</sub>R<sub>18</sub> are CO, C(:S), oxime or hydrazone group] were prep'd. for use as antibacterials. Thus, treating daptomycin with 4-fluorobenzaldehyde and sodium triacetoxymethylborohydride in dry DMF for 24 h afforded I [R = NHCO(CH<sub>2</sub>)<sub>8</sub>Me, R<sub>1</sub> = NHCH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>F-4, R<sub>2</sub> = CH<sub>2</sub>COC<sub>6</sub>H<sub>4</sub>NH<sub>2</sub>-o], which showed MIC (S. Aureus) .ltoreq. 1 .mu.g/mL.

IT 345645-88-7P

RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES

(Uses)

(prepn. of lipopeptides as antibacterial agents)

IT 345311-15-1P 345311-16-2P 345311-19-5P  
 345311-20-8P 345311-21-9P 345311-22-0P  
 345311-23-1P 345311-24-2P 345311-25-3P  
 345311-28-6P 345311-29-7P 345311-30-0P  
 345311-31-1P 345311-32-2P 345311-33-3P  
 345311-35-5P 345311-36-6P 345311-50-4P  
 345311-51-5P 345311-52-6P 345311-53-7P  
 345311-54-8P 345311-55-9P 345311-56-0P  
 345311-57-1P 345311-70-8P 345311-71-9P  
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RL: BAC (Biological activity or effector, except adverse); BPN  
 (Biosynthetic preparation); BSU (Biological study, unclassified); SPN  
 (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);  
 PREP (Preparation); USES (Uses)

(prepn. of lipopeptides as antibacterial agents)

IT 345311-92-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT  
 (Reactant or reagent); USES (Uses)

(prepn. of lipopeptides as antibacterial agents)

IT 345317-02-4P 345317-04-6P 345317-55-7P  
 345317-57-9P 345643-25-6P 345643-26-7P  
 345643-27-8P 345643-28-9P 345643-29-0P  
 345643-30-3P 345643-31-4P 345643-32-5P  
 345643-33-6P 345643-34-7P 345643-35-8P  
 345643-36-9P 345643-37-0P 345643-38-1P  
 345643-39-2P 345643-40-5P 345643-41-6P  
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of lipopeptides as antibacterial agents)

IT 345311-94-6P 345317-60-4P 345646-76-6P  
 345646-79-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(prepn. of lipopeptides as antibacterial agents)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:453090 HCAPLUS

DOCUMENT NUMBER: 135:61554

TITLE: Preparation of novel lipopeptides as antibacterial agents

INVENTOR(S): Hill, Jason; Parr, Ian; Morytko, Michael; Siedlecki, Jim; Yu, Xiang Yang; Silverman, Jared; Keith, Dennis; Finn, John; Christensen, Dale; Lazarova, Tsvetelina; Watson, Alan D.; Zhang, Yan

PATENT ASSIGNEE(S): Cubist Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044272	A2	20010621	WO 2000-US34118	20001215
WO 2001044272	A3	20011129		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002025924	A1	20020228	US 2000-738742	20001215
EP 1240181	A2	20020918	EP 2000-986444	20001215
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BR 2000017026	A	20030107	BR 2000-17026	20001215
NO 2002002888	A	20020802	NO 2002-2888	20020617
PRIORITY APPLN. INFO.:			US 1999-170943P	P 19991215
			WO 2000-US34118	W 20001215
OTHER SOURCE(S):		MARPAT 135:61554		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Lipopeptides I [R is -N(B)(X)n-A; B is X''RY, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; RY is hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl; X, X'' are C:O, C:S, C:NH, C:NRX, S:O or SO<sub>2</sub>; n is 0 or 1; RX is alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy; A is H, NH<sub>2</sub>, NHRA, NRARB, heteroaryl, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy) or when n is 0, then A is P(O)(OR<sub>50</sub>)OR<sub>51</sub>, P(O)R<sub>52</sub>R<sub>53</sub>, or P(O)(OR<sub>50</sub>)R<sub>53</sub>, where R<sub>50</sub>-R<sub>53</sub> are alkyl (with provisos); R<sub>1</sub> is defined similarly to R; R<sub>2</sub> is CH<sub>2</sub>CR<sub>17</sub>R<sub>18</sub>-ring, where R<sub>17</sub> and R<sub>18</sub> are hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl, etc. or CR<sub>17</sub>R<sub>18</sub> are CO, C(:S), oxime or hydrazone group] were prepd. for use as antibacterials. Thus, daptomycin was Boc-protected, deacylated using deacylase enzyme, and reacted with octyl isocyanate to give I [R = NHCONH(CH<sub>2</sub>)<sub>7</sub>Me, R<sub>1</sub> = NH<sub>2</sub>, R<sub>2</sub> = CH<sub>2</sub>COC<sub>6</sub>H<sub>4</sub>NH<sub>2</sub>-o], which showed MIC (S. Aureus) > 1 .ltoreq. 10 .mu.g/mL mg/kg.

IT 345311-15-1P 345311-16-2P 345311-17-3P  
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 RL: BAC (Biological activity or effector, except adverse); BPN  
 (Biosynthetic preparation); BSU (Biological study, unclassified); SPN  
 (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);  
 PREP (Preparation); USES (Uses)  
 (prepn. of novel lipopeptides as antibacterial agents)

IT 345311-73-1P 345311-80-0P 345311-82-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT  
 (Reactant or reagent); USES (Uses)  
 (prepn. of novel lipopeptides as antibacterial agents)

IT 345311-33-3P 345311-50-4P 345311-51-5P  
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 345311-55-9P 345311-56-0P 345311-57-1P  
 345311-70-8P 345311-71-9P 345311-72-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of novel lipopeptides as antibacterial agents)

IT 345311-94-6P 345311-96-8P  
 RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic  
 preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant  
 or reagent)  
 (prepn. of novel lipopeptides as antibacterial agents)

IT 345311-87-7P 345311-89-9P 345311-90-2P  
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 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (prepn. of novel lipopeptides as antibacterial agents)

L22 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:453089 HCAPLUS

DOCUMENT NUMBER: 135:61553

TITLE: Preparation of novel lipopeptides as antibacterial  
agentsINVENTOR(S): Hill, Jason; Parr, Ian; Morytko, Michael; Siedlecki,  
Jim; Yu, Xiang Yang; Silverman, Jared; Keith, Dennis;  
Finn, John; Christensen, Dale; Lazarova, Tsvetelina;  
Watson, Alan D.; Zhang, Yan

PATENT ASSIGNEE(S): Cubist Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044271	A2	20010621	WO 2000-US34051	20001215
WO 2001044271	A3	20020307		

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 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,

SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 US 2002058785 A1 20020516 US 2000-739535 20001215  
 EP 1240182 A2 20020918 EP 2000-991409 20001215  
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 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 BR 2000017028 A 20030107 BR 2000-17028 20001215  
 NO 2002002886 A 20020802 NO 2002-2886 20020617  
 PRIORITY APPLN. INFO.: US 1999-170945P P 19991215  
 WO 2000-US34051 W 20001215  
 OTHER SOURCE(S): MARPAT 135:61553  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Lipopeptides I [R and R1 are -N(B)(X)n-A; B is X'RY, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; RY is hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl; X, X' are C:O, C:S, C:NH, C:NRX, S:O or SO2; n is 0 or 1; RX is alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy; A is H, NH2, NHRA, NRARB, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy) or when n is 0, then A is P(O)(OR50)OR51, P(O)R52R53, or P(O)(OR50)R53, where R50-R53 are alkyl; alternatively, B and A together form a 5-7 membered heterocyclic or heteroaryl ring; R2 is CH2CR17R18-ring, where R17 and R18 are hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl, etc. or CR17R18 are CO, C(:S), oxime or hydrazone group] were prepd. for use as antibacterials. Thus, sulfamic acid (89.9 mg) and sodium nitrite (51.1 mg) were added to a soln. of daptomycin (1 g) in 0.1 M HCl (31 mL) at 0.degree.. Aq. potassium O-ethylxanthic acid (497 mg) was added and the mixt. was heated at 60.degree. for 1 h to afford I [R = NHCO(CH2)8Me, R1 = NH2, R2 = CH2CO-o-C6H4SC(S)OEt], which showed MIC (S. Aureus and E. faecalis) and ED50 > 1 .ltoreq. 10 .mu.g/mL or mg/kg, resp.

IT 345317-57-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (prepn. of novel lipopeptides as antibacterial agents)

IT 345317-02-4P 345317-04-6P 345317-55-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of novel lipopeptides as antibacterial agents)

IT 345317-60-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of novel lipopeptides as antibacterial agents)

L22 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:154818 HCAPLUS

DOCUMENT NUMBER: 110:154818

TITLE: Enzymic and chemical modifications of lipopeptide antibiotic A21978C: the synthesis and evaluation of daptomycin (LY146032)



AUTHOR(S): Debono, Manuel; Abbott, Bernard J.; Molloy, R. Michael; Fukuda, David S.; Hunt, Ann H.; Daupert, Veronica M.; Counter, Frederick T.; Ott, John L.; Carrell, Claude B.; et al.

CORPORATE SOURCE: Lilly Res. Lab., Lilly Corp. Cent., Indianapolis, IN, 46285, USA

SOURCE: Journal of Antibiotics (1988), 41(8), 1093-105  
CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 110:154818

AB The novel lipopeptide antibiotic A21978C complex consists of a common peptide nucleus with various lipid acyl groups at the N-terminus characteristic of each individual factor. The fatty acid acyl group is removed by incubation of the A21978C complex with *Actinoplanes utahensis* to give the peptide nucleus. This peptide nucleus has the same amino acid sequence as A21978C. New analogs of A21978C were synthesized by acylation of the N-terminus of a tert-butoxycarbonyl-protected nucleus and subsequent deprotection. <sup>1</sup>H NMR showed that the newly introduced acyl group was at the desired N-terminus. Three major groups of analogs were synthesized bearing fatty acid acyl, aminoaroyl and extended peptide side chains. Each analog was evaluated for antimicrobial activity and acute toxicity. Of these analogs, the n-decanoyl analog of A21978C (LY146032) gave the best survival in the mouse acute toxicity test at a high dose of 1000 mg/kg (i.v.). This analog has been named daptomycin.

IT 119723-60-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and deblocking of)

L22 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:438829 HCAPLUS

DOCUMENT NUMBER: 101:38829

TITLE: Cyclic peptide derivatives

INVENTOR(S): Abbott, Bernard John; Debono, Manuel; Fukuda, David Shuichi

PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA

SOURCE: Brit. UK Pat. Appl., 64 pp.  
CODEN: BAXXDU

DOCUMENT TYPE: Patent

LANGUAGE: English

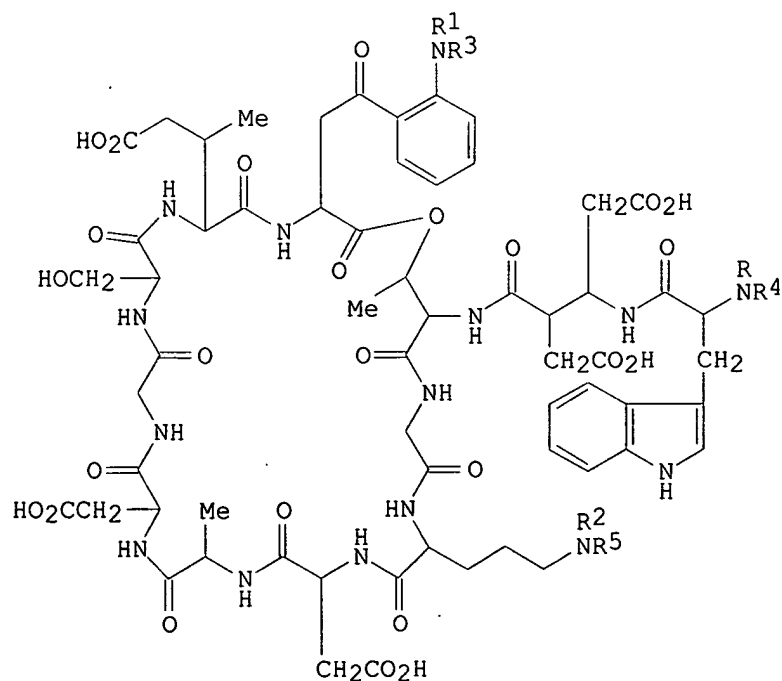
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2120257	A1	19831130	GB 1983-13471	19830516
GB 2120257	B2	19850605		
US 4396543	A	19830802	US 1982-380499	19820521
US 4399067	A	19830816	US 1982-380498	19820521
ZA 8303451	A	19841224	ZA 1983-3451	19830513
CA 1200777	A1	19860218	CA 1983-428102	19830513
CA 1216579	A1	19870113	CA 1983-428101	19830513
RO 86722	B3	19850417	RO 1983-110958	19830516
RO 86724	B3	19850417	RO 1983-110960	19830516
AT 8301782	A	19860115	AT 1983-1782	19830516
AT 381103	B	19860825		
AT 8301785	A	19960815	AT 1983-1785	19830516
AT 402299	B	19970325		
DK 8302210	A	19831122	DK 1983-2210	19830518
DK 8302211	A	19831122	DK 1983-2211	19830518
FI 8301748	A	19831122	FI 1983-1748	19830518
FI 79545	B	19890929		

FI 79545	C	19900110		
FI 8301756	A	19831122	FI 1983-1756	19830518
FI 79118	B	19890731		
FI 79118	C	19891110		
ES 522562	A1	19841216	ES 1983-522562	19830519
ES 522560	A1	19850116	ES 1983-522560	19830519
HU 30775	O	19840328	HU 1983-1795	19830520
HU 195839	B	19880728		
HU 30623	O	19840328	HU 1983-1796	19830520
HU 193039	B	19870828		
DD 210257	A5	19840606	DD 1983-251128	19830520
DD 210285	A5	19840606	DD 1983-251129	19830520
PL 142112	B1	19870930	PL 1983-242098	19830520
CS 257766	B2	19880615	CS 1983-3607	19830520
US 4482487	A	19841113	US 1984-575648	19840131
US 4524135	A	19850618	US 1984-637666	19840803
ES 535958	A1	19850616	ES 1984-535958	19840914
US 4537717	A	19850827	US 1984-652695	19840921
PRIORITY APPLN. INFO.:			US 1982-380497	A 19820521
			US 1982-380498	A 19820521
			US 1982-380499	A 19820521
			US 1982-382012	A 19820521
			US 1983-493447	A1 19830511
			US 1983-493446	A1 19830613
			US 1984-573901	A1 19840126
			US 1984-575648	A3 19840131

GI



I

AB A-21978c derivs. I (R, R1, R2 = H, 3-methyldecanoyl, 10-methyldodecanoyl, 10-methylundecanoyl, C10 or C12 alkanoyl, NH2-protecting group, aminoacyl, substituted Bz; R3, R4, R5 = H; RR4, R1R3, R2R5 = C4-14 alkylidene) were prepd. as antibacterial agents. Thus, fermn. by a culture of *Actinoplanes utakensis* produced A-21978c, which was N-tert-butoxycarbonylated and then

deacylated by the fermn. medium to give A-21978c-NOrn-Boc nucleus [I; R-R4 = H, R5 = CO<sub>2</sub>CMe<sub>3</sub> (Boc)]. The latter was acylated with Me(CH<sub>2</sub>)<sub>8</sub>CO<sub>2</sub>C<sub>6</sub>H<sub>2</sub>Cl<sub>3</sub>-2,4,5 to give I [R = Me(CH<sub>2</sub>)<sub>8</sub>CO, R1-R4 = H, R5 = Boc], which was Boc-deblocked by CF<sub>3</sub>CO<sub>2</sub>H/HSCH<sub>2</sub>CH<sub>2</sub>SH to give I [R = Me(CH<sub>2</sub>)<sub>8</sub>CO, R1-R5 = H] (II). I exhibited in vitro and in vivo antibacterial activities, e.g., II inhibited *Staphylococcus aureus* in mice with an ED<sub>50</sub> of 0.8 mg/kg (s.c.).

IT 88526-67-4P 89927-79-7P 89927-80-0P  
89927-81-1P 89927-82-2P 89927-83-3P  
89927-84-4P 89927-85-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antibacterial activity of)

IT 88501-73-9P 88501-74-0P 88501-75-1P  
88501-76-2P 88501-78-4P 88501-79-5P  
88501-80-8P 88501-81-9P 88501-82-0P  
88501-83-1P 88501-84-2P 88501-85-3P  
88501-86-4P 88501-87-5P 88501-88-6P  
88501-89-7P 88501-90-0P 88513-68-2P  
88547-98-2P 89927-88-8P 89927-89-9P  
89927-91-3P 89927-92-4P 89927-93-5P  
89927-94-6P 89927-95-7P 89927-96-8P  
89927-97-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deblocking of)

IT 88501-72-8P 88501-92-2P 88501-93-3P  
88513-66-0P 88513-67-1P 88784-81-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

L22 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:86126 HCAPLUS  
DOCUMENT NUMBER: 100:86126  
TITLE: Derivatives of A-21978C cyclic peptides  
INVENTOR(S): Debono, Manuel  
PATENT ASSIGNEE(S): Lilly, Eli, and Co. , USA  
SOURCE: U.S., 12 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4399067	A	19830816	US 1982-380498	19820521
CA 1215043	A1	19861209	CA 1983-428100	19830513
AU 8314566	A1	19831124	AU 1983-14566	19830516
AU 553875	B2	19860731		
EP 95295	A1	19831130	EP 1983-302744	19830516
EP 95295	B1	19870114		
R: BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
GB 2120257	A1	19831130	GB 1983-13471	19830516
GB 2120257	B2	19850605		
RO 86721	B3	19850417	RO 1983-110957	19830516
AT 8301783	A	19850815	AT 1983-1783	19830516
AT 380022	B	19860325		
DK 8302209	A	19831122	DK 1983-2209	19830518
FI 8301746	A	19831122	FI 1983-1746	19830518
ES 522561	A1	19840901	ES 1983-522561	19830519
JP 58213744	A2	19831212	JP 1983-89917	19830520

JP 07005638	B4	19950125		
HU 30785	O	19840328	HU 1983-1794	19830520
HU 192955	B	19870828		
DD 209810	A5	19840523	DD 1983-251131	19830520
AU 8431335	A1	19841122	AU 1984-31335	19840731
AU 586611	B2	19890720		
US 32310	E	19861216	US 1985-779372	19850923

PRIORITY APPLN. INFO.:

	US 1982-380497	A	19820521
	US 1982-380498	A	19820521
	US 1982-380499	A	19820521
	US 1982-382012	A	19820521

GI For diagram(s), see printed CA Issue.

AB Title compds. I (3MG = L-threo-3-methylglutamic acid residue; Kyn = L-kynurenine residue; R = substituted Bz; R1 = H, NH2-protecting group) were prepd. as semisynthetic antibacterial agents. Thus, NO<sub>2</sub>-Boc-A-21978C complex (Boc = Me<sub>3</sub>CO<sub>2</sub>C), prepd. by the fermn. of a culture of *Actinoplanes utahensis*, was deacylated to give NO<sub>2</sub>-Boc-A-21978C nucleus (I; R = H, R1 = Boc), which was acylated with R<sub>2</sub>CO<sub>2</sub>C<sub>6</sub>H<sub>2</sub>Cl<sub>3</sub>-2,4,5 [R<sub>2</sub>CO = p-(n-dodecyloxy)benzoyl] to give I (R = R<sub>2</sub>CO, R1 = Boc), which was Boc-deblocked by CF<sub>3</sub>CO<sub>2</sub>H/anisole to give I (R = R<sub>2</sub>CO, R1 = H) (III). III exhibited a minimal inhibitory concn. of 1 mcg/mL against *Staphylococcus aureus*.

IT **88784-81-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and deblocking and antibacterial activity of)

IT **88501-92-2P 88501-93-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

L22 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:68739 HCAPLUS  
DOCUMENT NUMBER: 100:68739  
TITLE: Derivatives of A-21978C cyclic peptides  
INVENTOR(S): Debono, Manuel  
PATENT ASSIGNEE(S): Lilly, Eli, and Co. , USA  
SOURCE: U.S., 24 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4396543	A	19830802	US 1982-380499	19820521
CA 1216580	A1	19870113	CA 1983-428103	19830513
AU 8314566	A1	19831124	AU 1983-14566	19830516
AU 553875	B2	19860731		
EP 95295	A1	19831130	EP 1983-302744	19830516
EP 95295	B1	19870114		
R: BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
GB 2120257	A1	19831130	GB 1983-13471	19830516
GB 2120257	B2	19850605		
RO 86723	B3	19850417	RO 1983-110959	19830516
AT 8301784	A	19860115	AT 1983-1784	19830516
AT 381104	B	19860825		
DK 8302212	A	19831122	DK 1983-2212	19830518
FI 8301747	A	19831122	FI 1983-1747	19830518
ES 522559	A1	19840901	ES 1983-522559	19830519
JP 58213744	A2	19831212	JP 1983-89917	19830520
JP 07005638	B4	19950125		
HU 29652	O	19840228	HU 1983-1797	19830520
HU 193528	B	19871028		

DD 210258	A5	19840606	DD 1983-251130	19830520
AU 8431335	A1	19841122	AU 1984-31335	19840731
AU 586611	B2	19890720		
US 32311	E	19861216	US 1985-780130	19850925

PRIORITY APPLN. INFO.:

	US 1982-380497	A	19820521
	US 1982-380498	A	19820521
	US 1982-380499	A	19820521
	US 1982-382012	A	19820521

OTHER SOURCE(S): CASREACT 100:68739

GI For diagram(s), see printed CA Issue.

AB Title peptides I (R = H, 8-methyldecanoyl, 10-methyldodecanoyl, 10-methylundecanoyl, C10 alkanoyl, C12 alkanoyl, amino-protecting group, aminoacyl, alkanoylaminoacyl; R1 = H, amino-protecting group, aminoacyl, alkanoylaminoacyl; 3MG = L-threo-3-methylglutamic acid residue; Kyn = L-kynurenine residue) were prepd. as antibacterial agents. Thus, NOrn-Boc-blocked A-21978c nucleus [I; R = H, R1 = Me3CO2C (Boc)] (II) was N-acylated with Me(CH2)8CO-Phe-OC6H2Cl3-2,4,5 to give I [R = Me(CH2)8CO-Phe, R1 = Boc], which was Boc-deblocked by CF3CO2H to give I [R = Me(CH2)8CO, R1 = H] (III). The prepn. of II involved fermn. with *Actinoplanes utahensis* followed by deacylation. III exhibited in vivo antibacterial activity against *Streptococcus pyogenes* with an ED50 of 0.39 mg/kg (s.c.).

IT 88526-67-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and antibacterial activity of)

IT 88501-73-9P 88501-74-0P 88501-75-1P  
88501-76-2P 88501-77-3P 88501-78-4P  
88501-79-5P 88501-80-8P 88501-81-9P  
88501-82-0P 88501-83-1P 88501-84-2P  
88501-85-3P 88501-86-4P 88501-87-5P  
88501-88-6P 88501-89-7P 88501-90-0P  
88513-68-2P 88547-98-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and deblocking of)

IT 88501-72-8P 88501-92-2P 88501-93-3P  
88513-66-0P 88513-67-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

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STRUCTURE FILE UPDATES: 26 FEB 2003 HIGHEST RN 495373-62-1  
DICTIONARY FILE UPDATES: 26 FEB 2003 HIGHEST RN 495373-62-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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156	RN	89927-80-0	REGISTRY
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179	RN	88501-77-3	REGISTRY
180	RN	88501-76-2	REGISTRY
181	RN	88501-75-1	REGISTRY
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183	RN	88501-73-9	REGISTRY
184	RN	88501-72-8	REGISTRY

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142 158 159 160 161 164 184

L21 ANSWER 1 OF 184 REGISTRY COPYRIGHT 2003 ACS

RN 345646-79-9 REGISTRY

CN Daptomycin, 6-[N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithine]-13-  
[(.alpha.S)-.alpha.-amino-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-.gamma.-  
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FS PROTEIN SEQUENCE; STEREOSEARCH

MF C82 H117 N17 O30

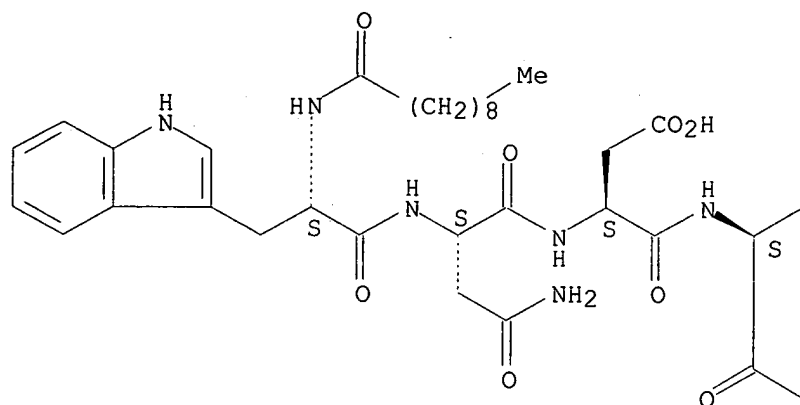
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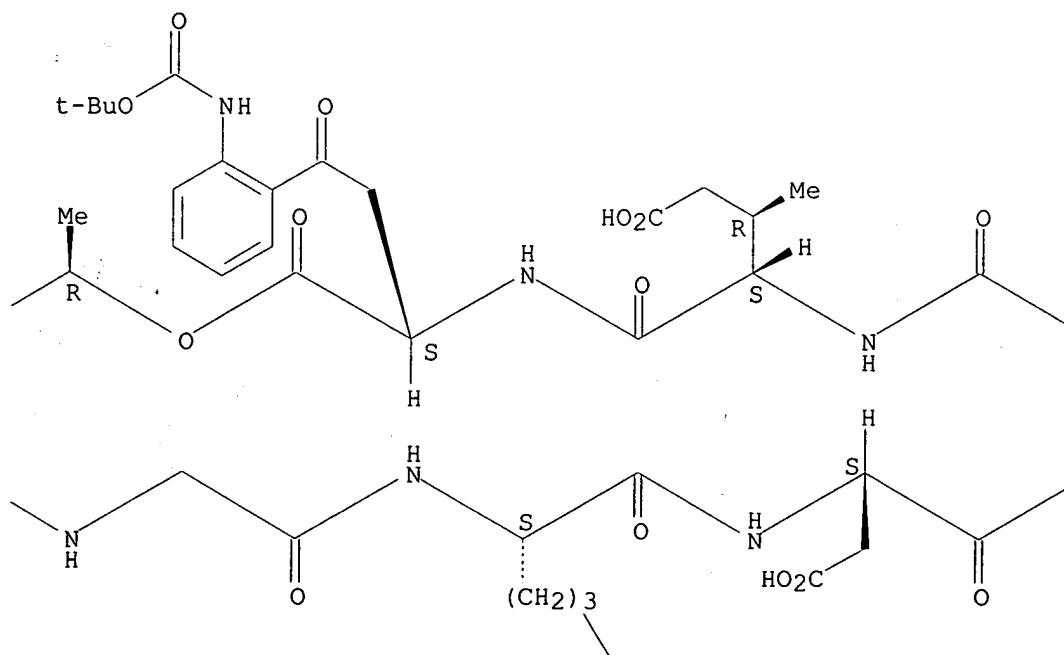
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Absolute stereochemistry.

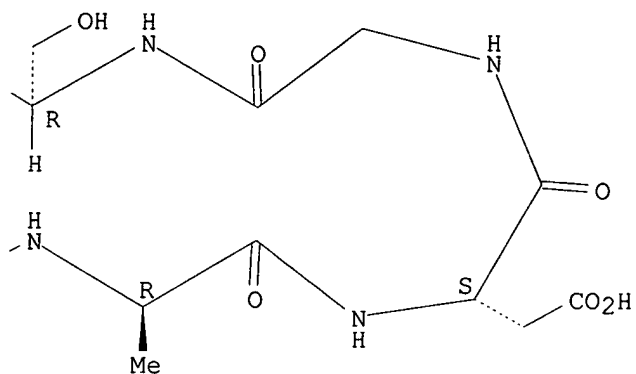
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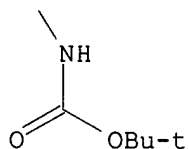
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PAGE 1-C



PAGE 2-B



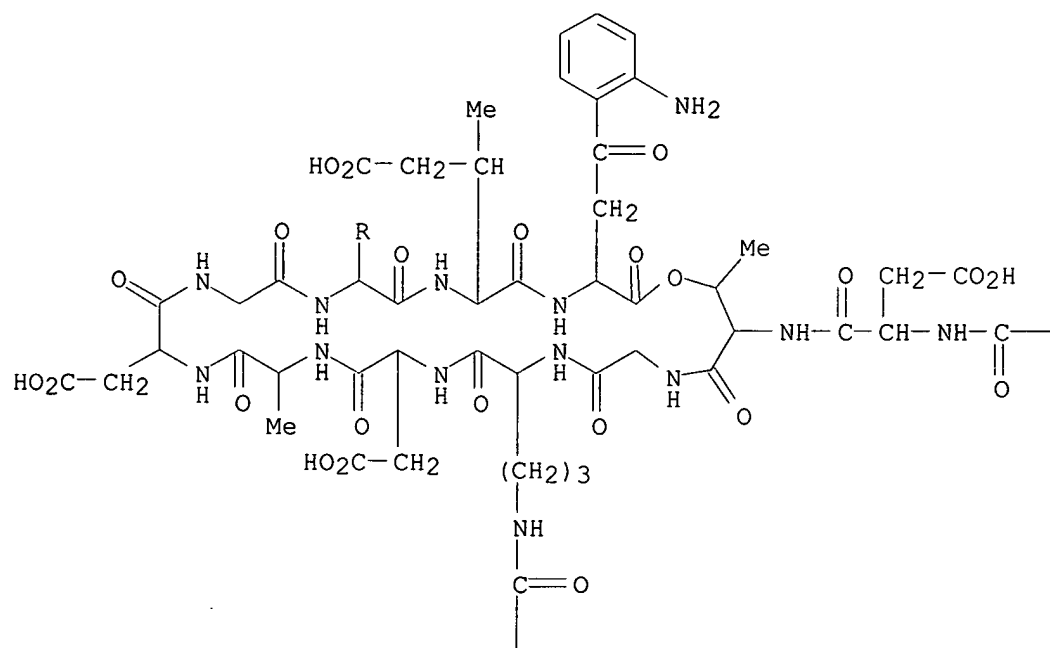
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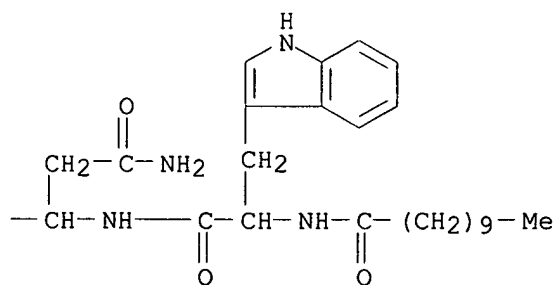
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RN 345645-91-2 REGISTRY  
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ornithine)- (9CI) (CA INDEX NAME)  
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SR CA  
LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

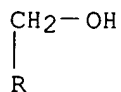
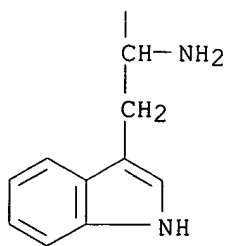
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PAGE 1-B



PAGE 2-A



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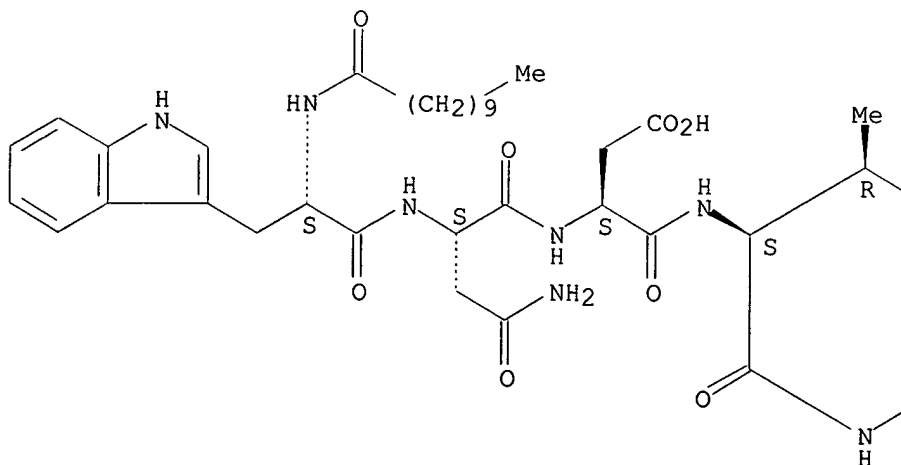
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L21 ANSWER 15 OF 184 REGISTRY COPYRIGHT 2003 ACS  
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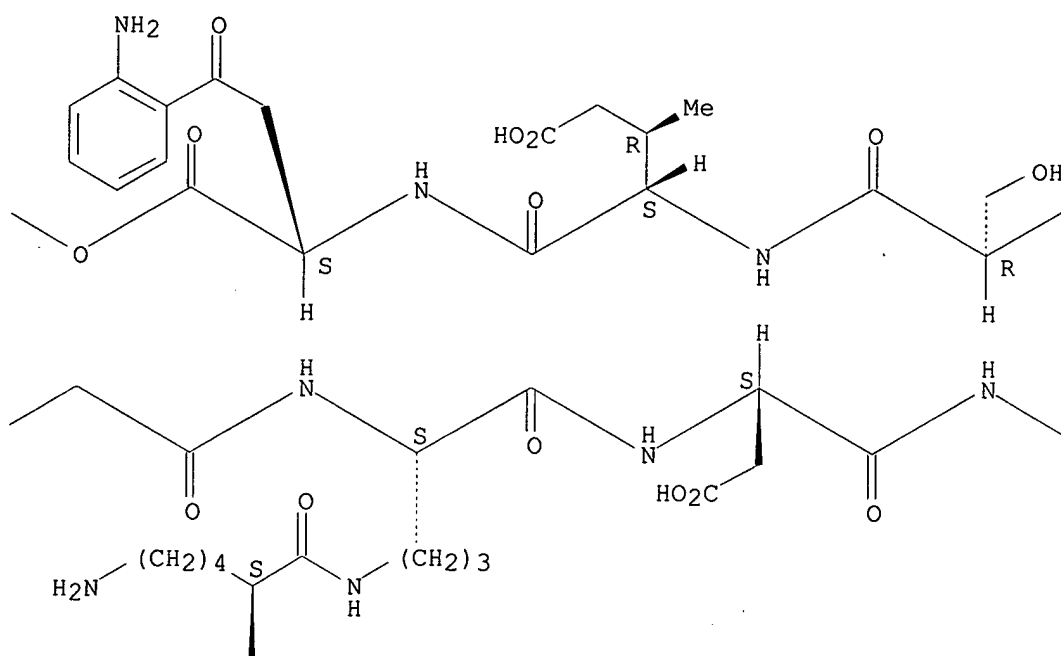
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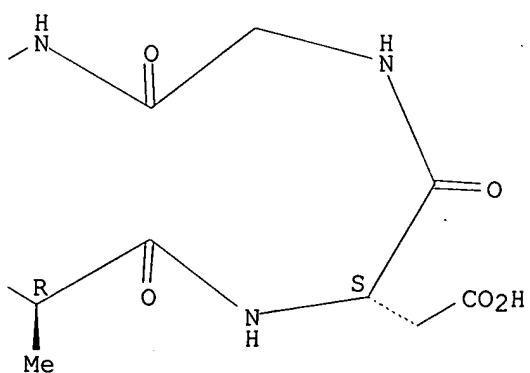
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PAGE 1-B



PAGE 1-C



PAGE 2-B

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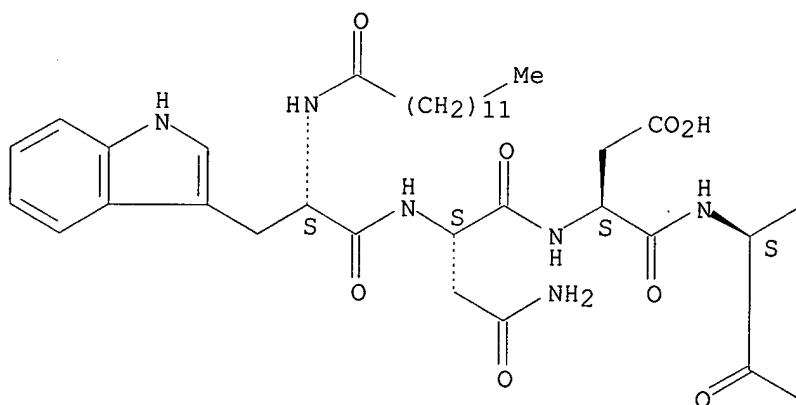
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L21 ANSWER 20 OF 184 REGISTRY COPYRIGHT 2003 ACS  
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 LC STN Files: CA, CAPLUS

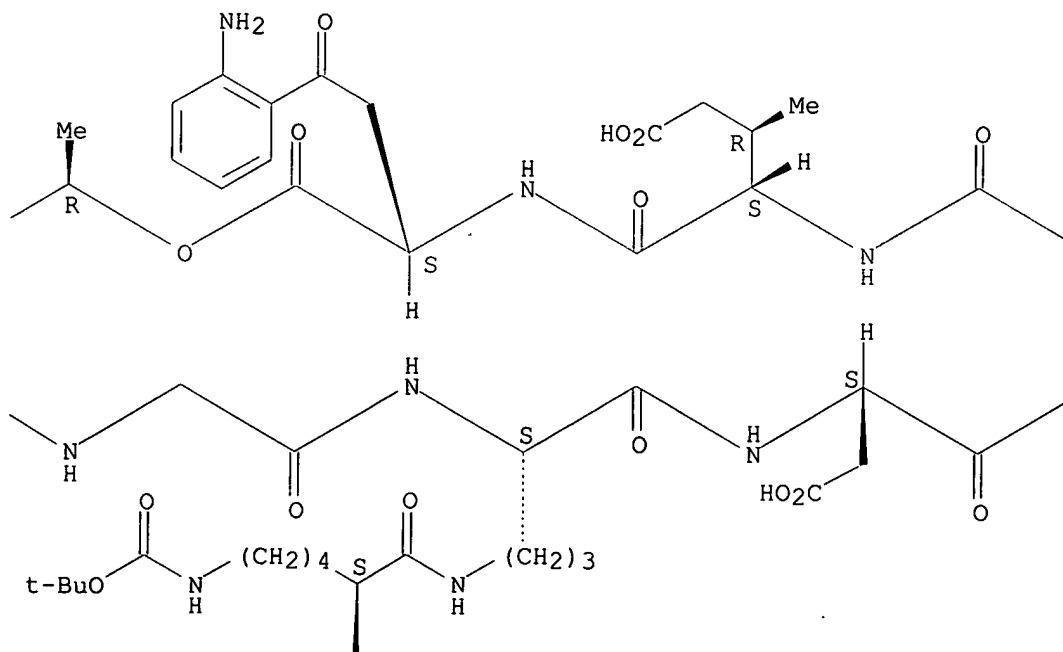
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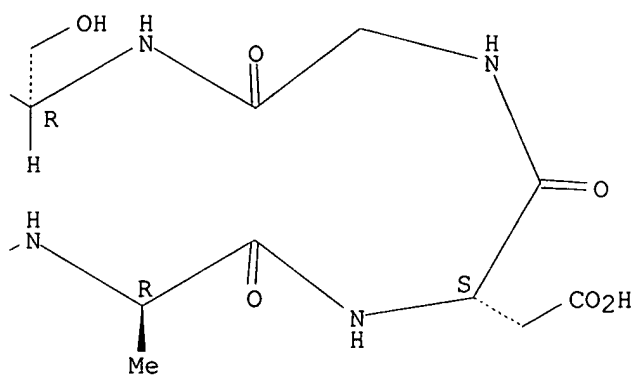
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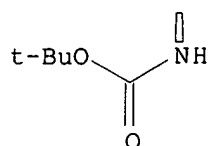
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PAGE 1-C



PAGE 2-B



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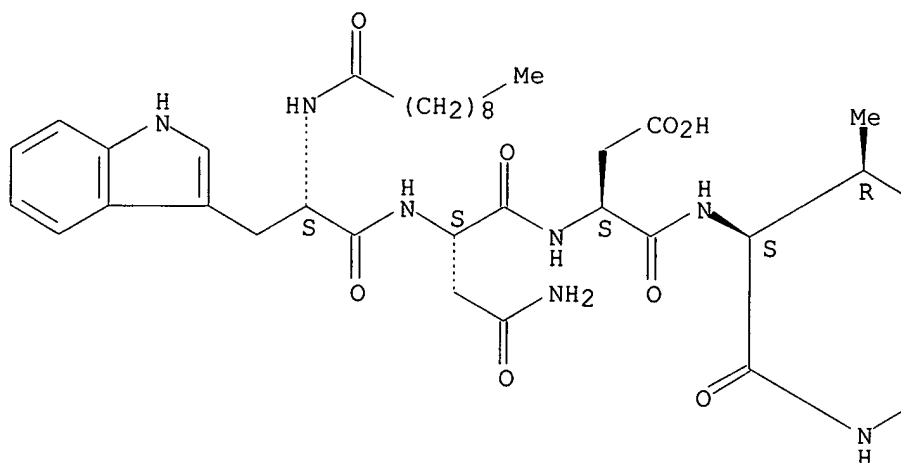
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 CN Daptomycin, 6-[N5-(2-sulfobenzoyl)-L-ornithine]- (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
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 SR CA  
 LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

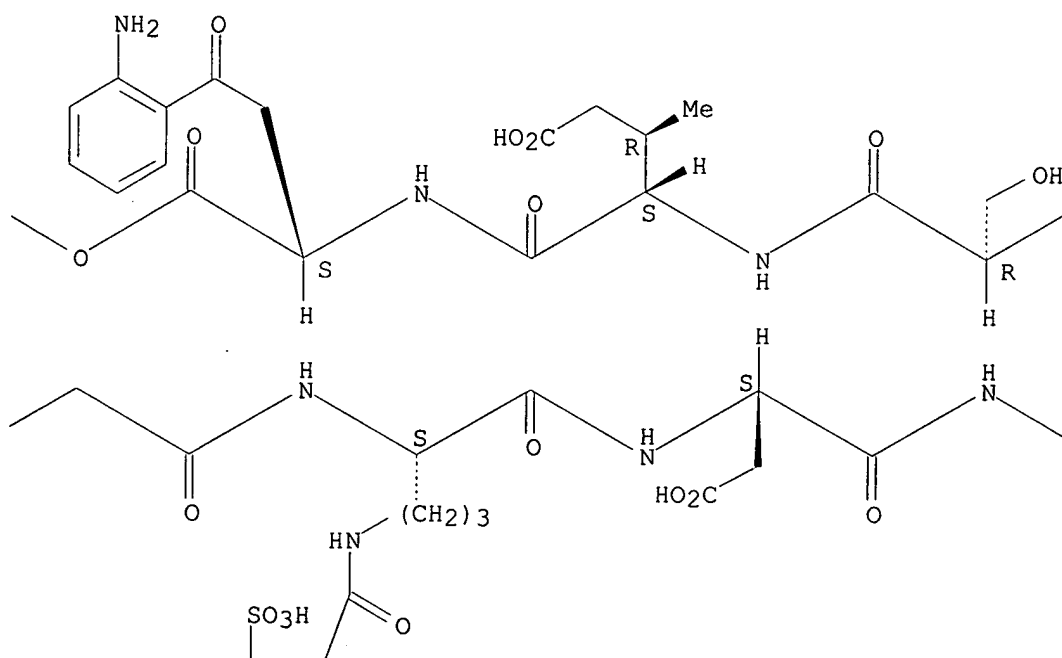
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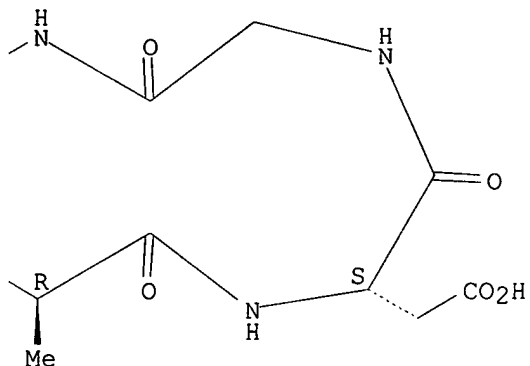
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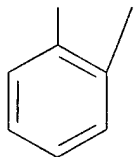
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PAGE 1-C



PAGE 2-B



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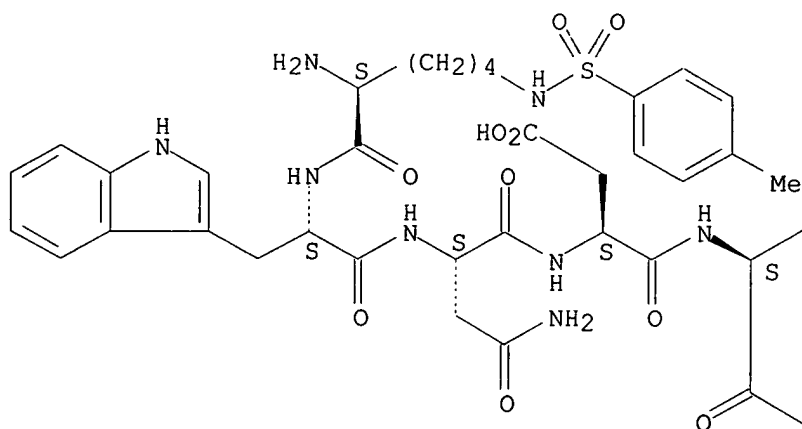
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L21 ANSWER 32 OF 184 REGISTRY COPYRIGHT 2003 ACS  
RN 345643-95-0 REGISTRY  
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SR CA  
LC STN Files: CA, CAPLUS

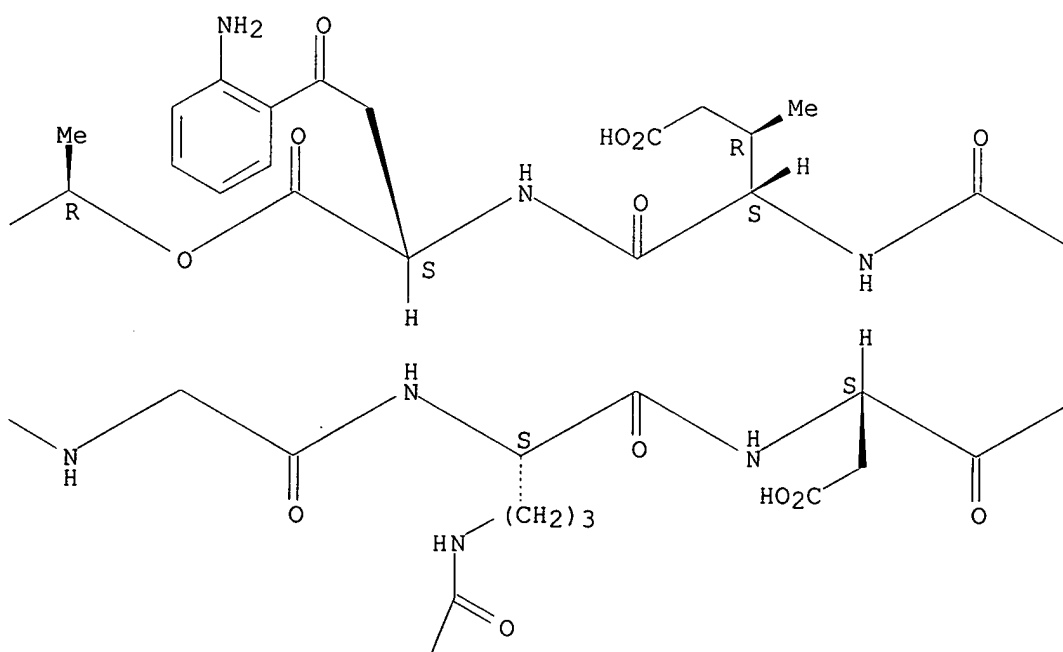
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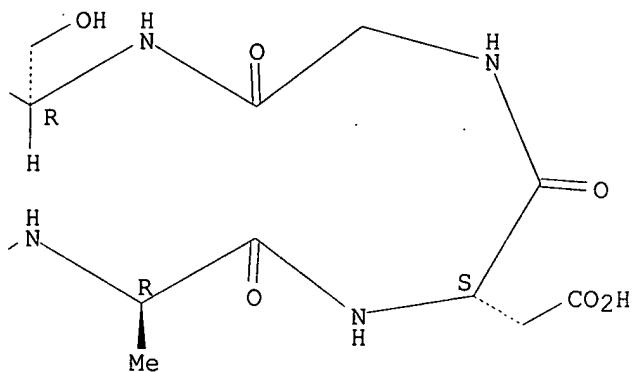
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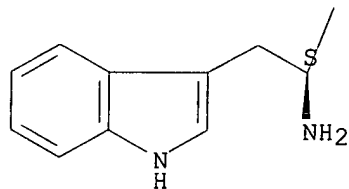
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PAGE 1-C



PAGE 2-B



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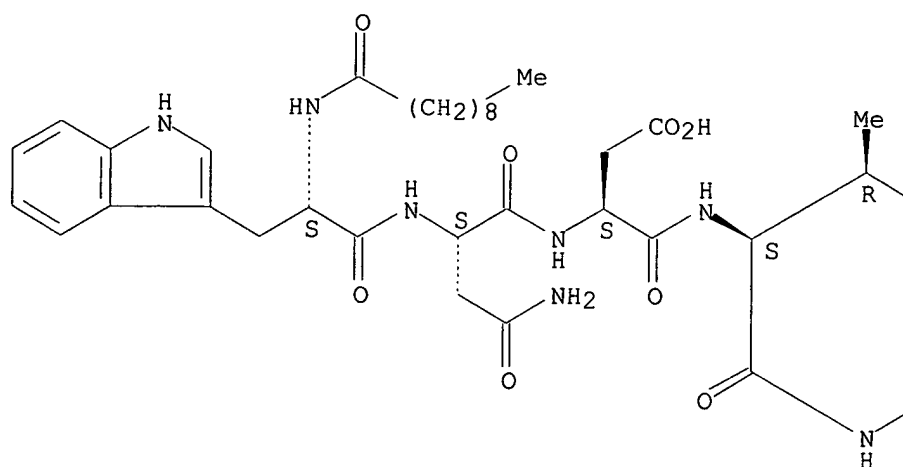
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L21 ANSWER 40 OF 184. REGISTRY COPYRIGHT 2003 ACS  
 RN 345643-77-8 REGISTRY  
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 FS PROTEIN SEQUENCE; STEREOSEARCH  
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 LC STN Files: CA, CAPLUS

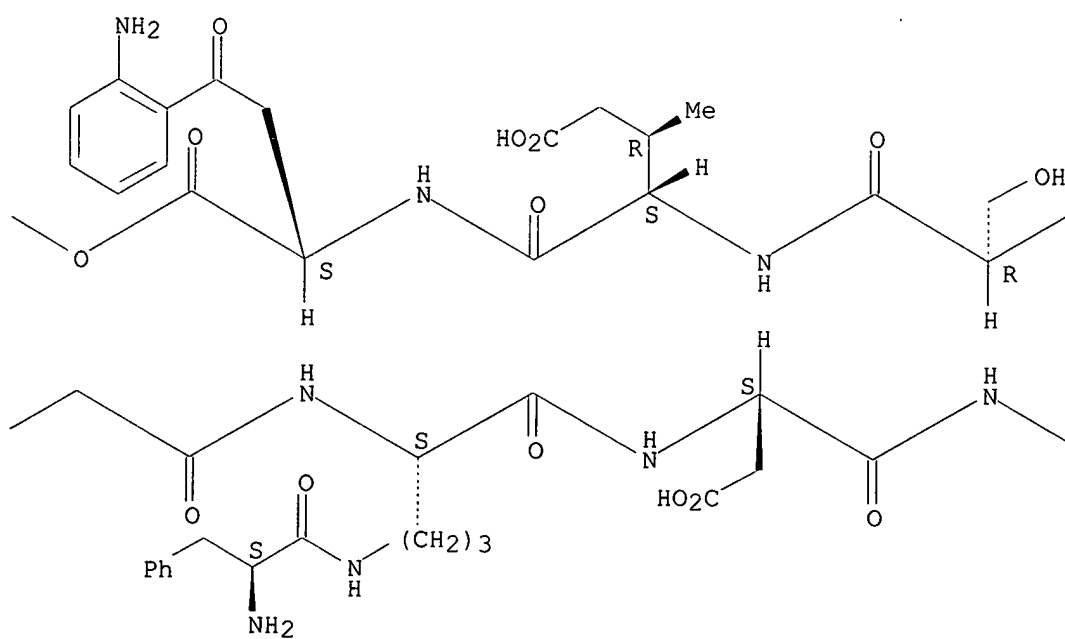
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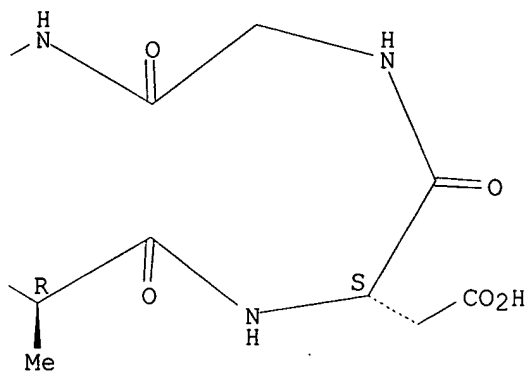
PAGE 1-A



PAGE 1-B



PAGE 1-C



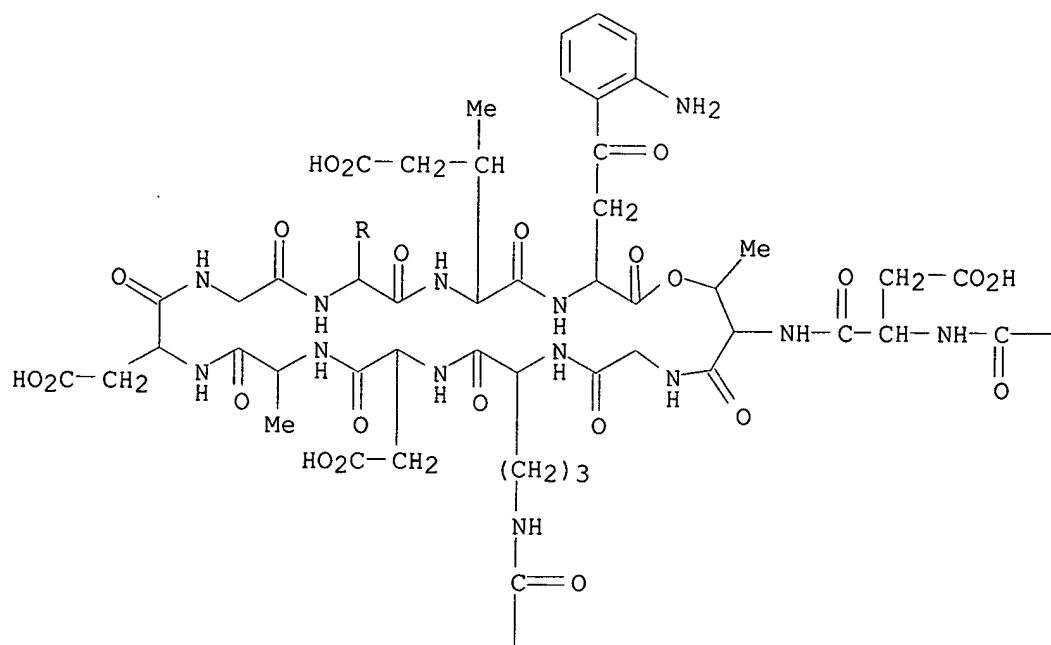
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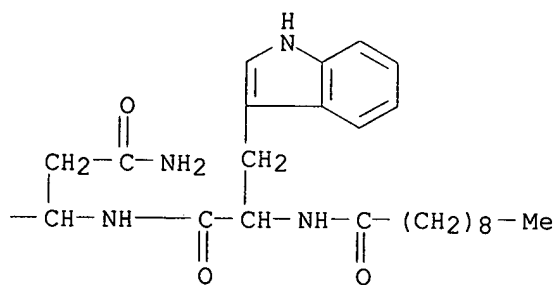
L21 ANSWER 45 OF 184 REGISTRY COPYRIGHT 2003 ACS  
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INDEX NAME)  
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LC STN Files: CA, CAPLUS

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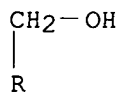
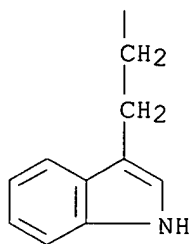
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PAGE 1-B



PAGE 2-A



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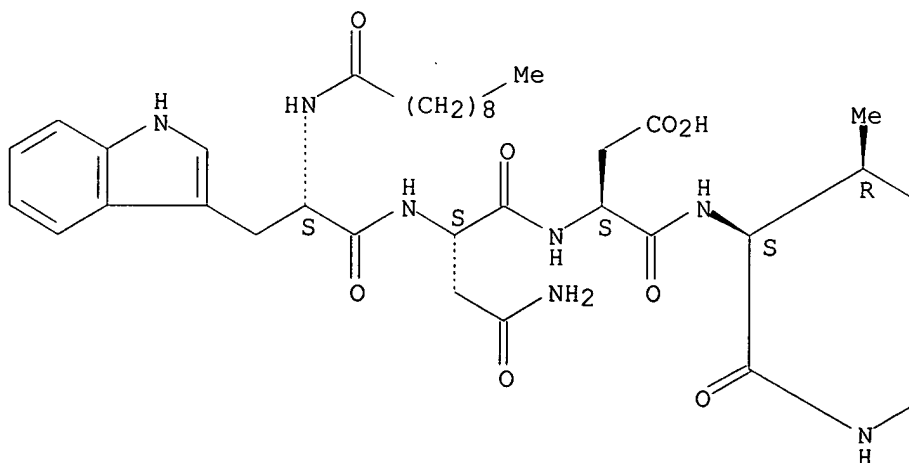
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RN 345643-67-6  REGISTRY
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FS PROTEIN SEQUENCE; STEREOSEARCH
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SR CA
LC STN Files:  CA, CAPLUS
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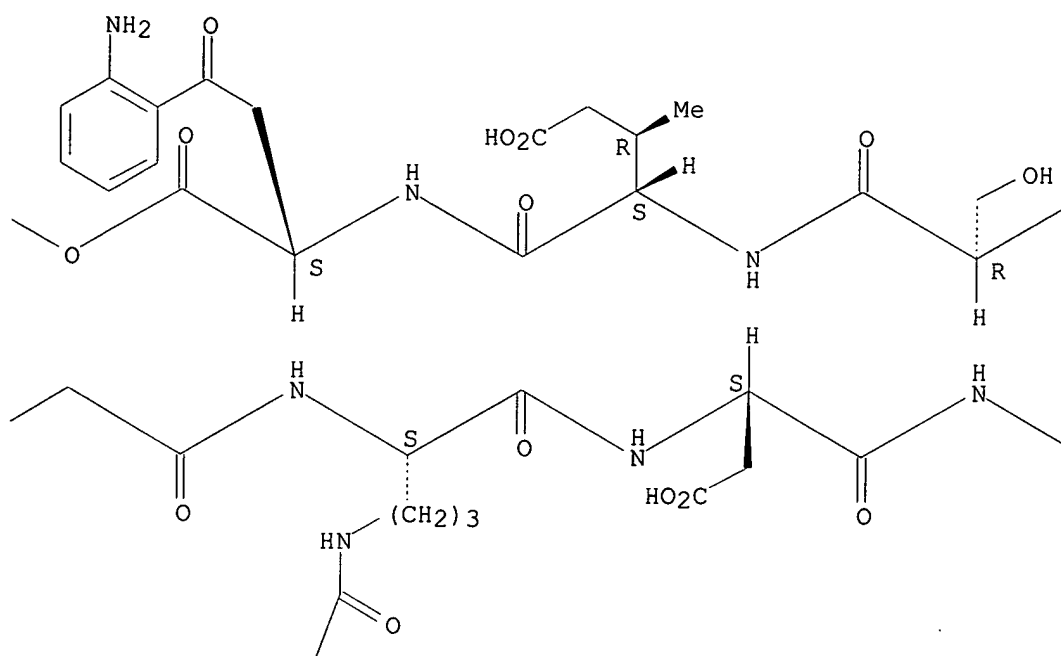
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PAGE 1-A

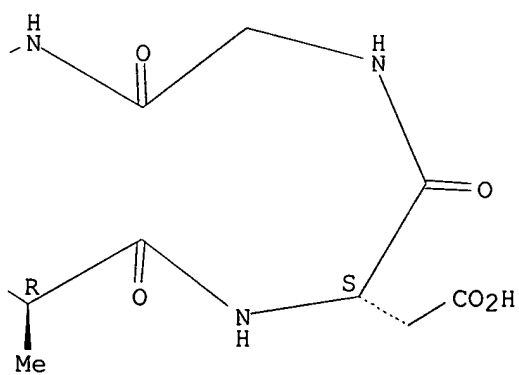




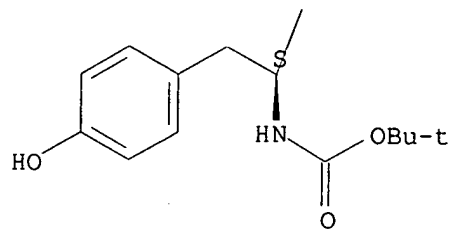
PAGE 1-B



PAGE 1-C



PAGE 2-B



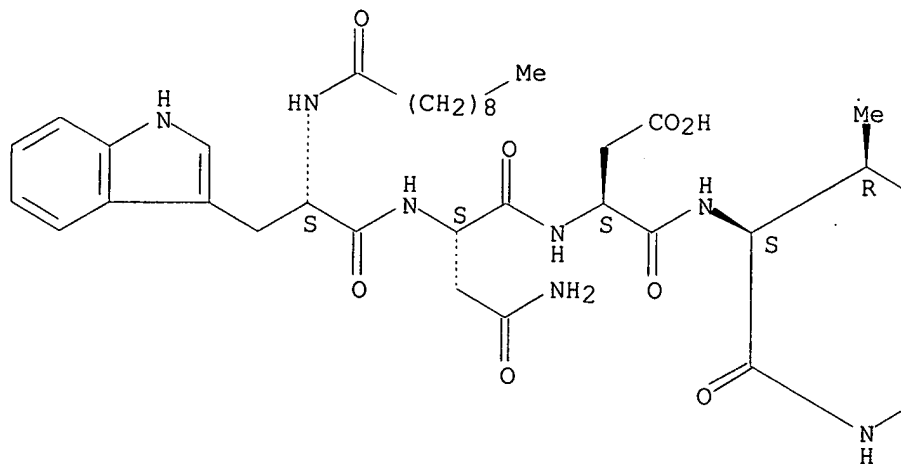
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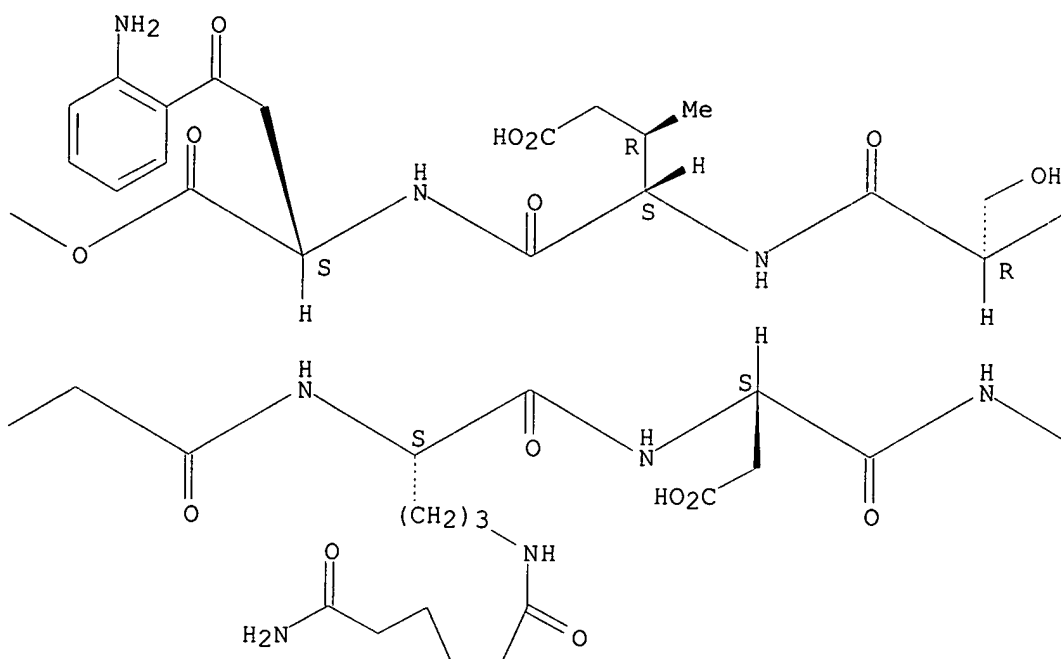
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 RN 345643-60-9 REGISTRY  
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 FS PROTEIN SEQUENCE; STEREOSEARCH  
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 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

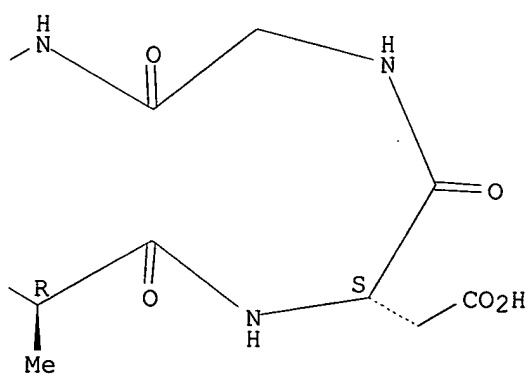
PAGE 1-A



PAGE 1-B



PAGE 1-C



PAGE 2-B



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REFERENCE 1: 135:61555

L21 ANSWER 60 OF 184 REGISTRY COPYRIGHT 2003 ACS

RN 345643-55-2 REGISTRY

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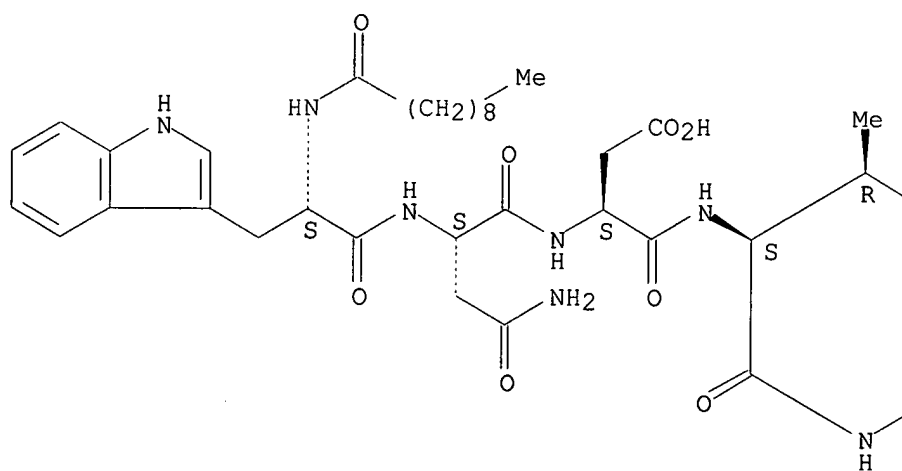
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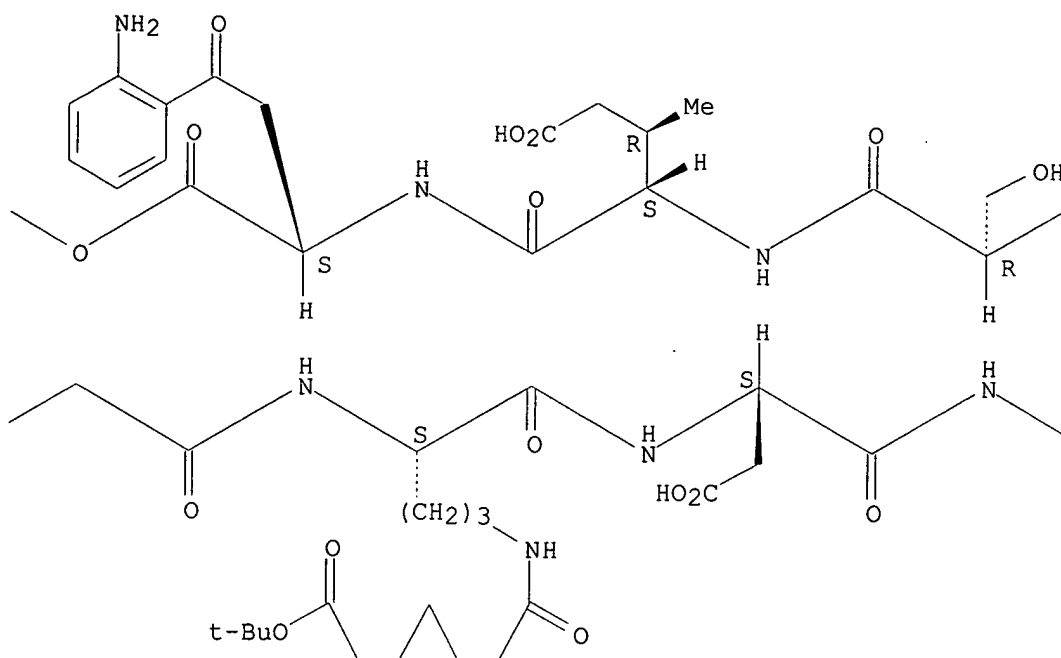
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Absolute stereochemistry.

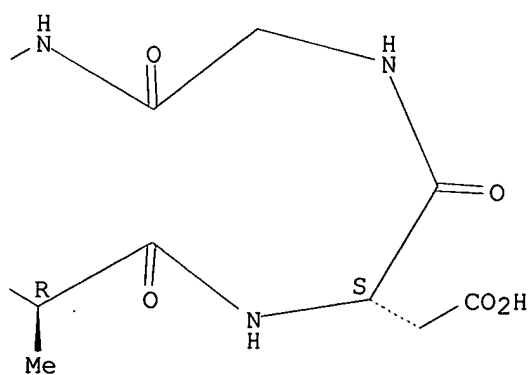
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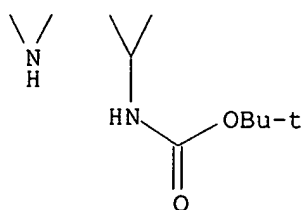
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PAGE 1-C



PAGE 2-B



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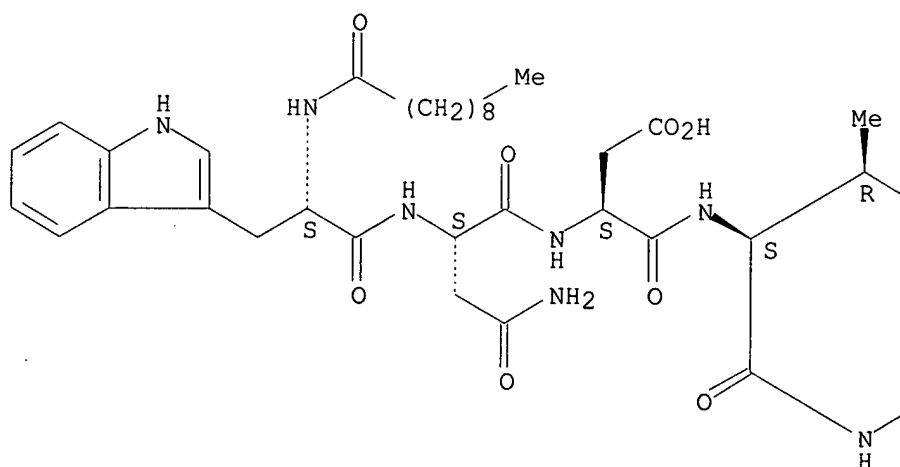
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L21  ANSWER 65 OF 184  REGISTRY  COPYRIGHT 2003 ACS
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NAME)
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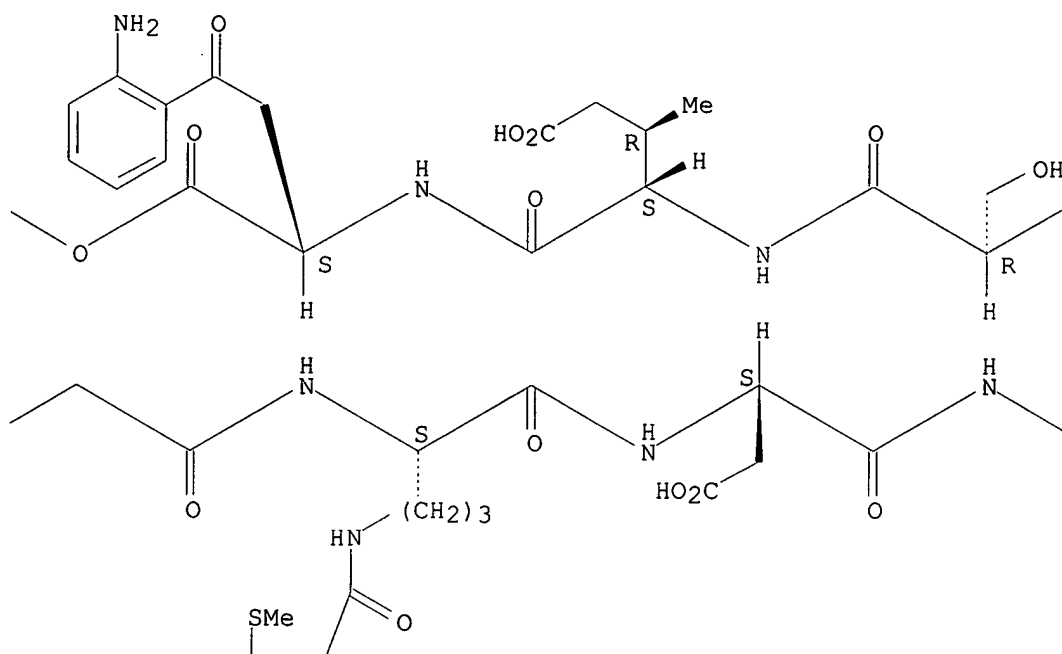
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Absolute stereochemistry.

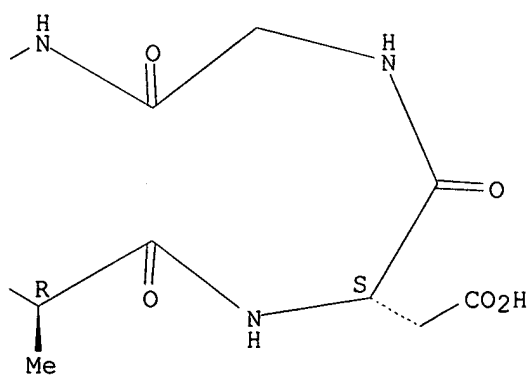
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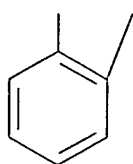
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PAGE 1-C



PAGE 2-B



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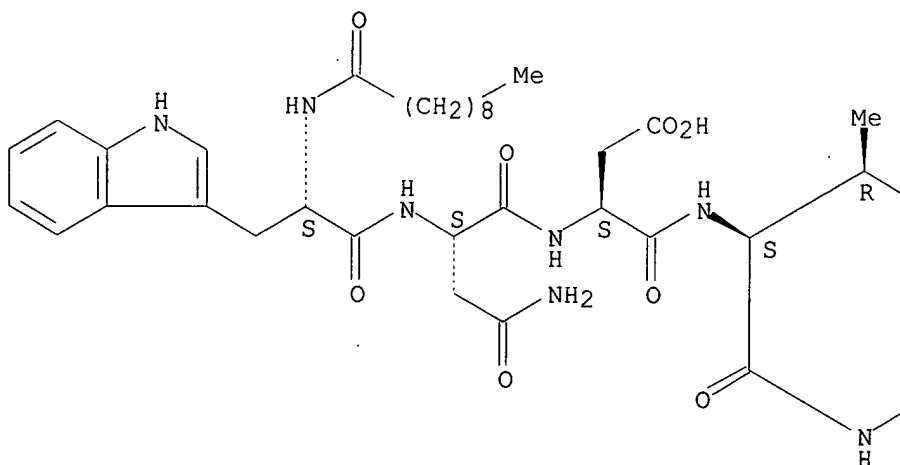
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L21 ANSWER 70 OF 184 REGISTRY COPYRIGHT 2003 ACS  
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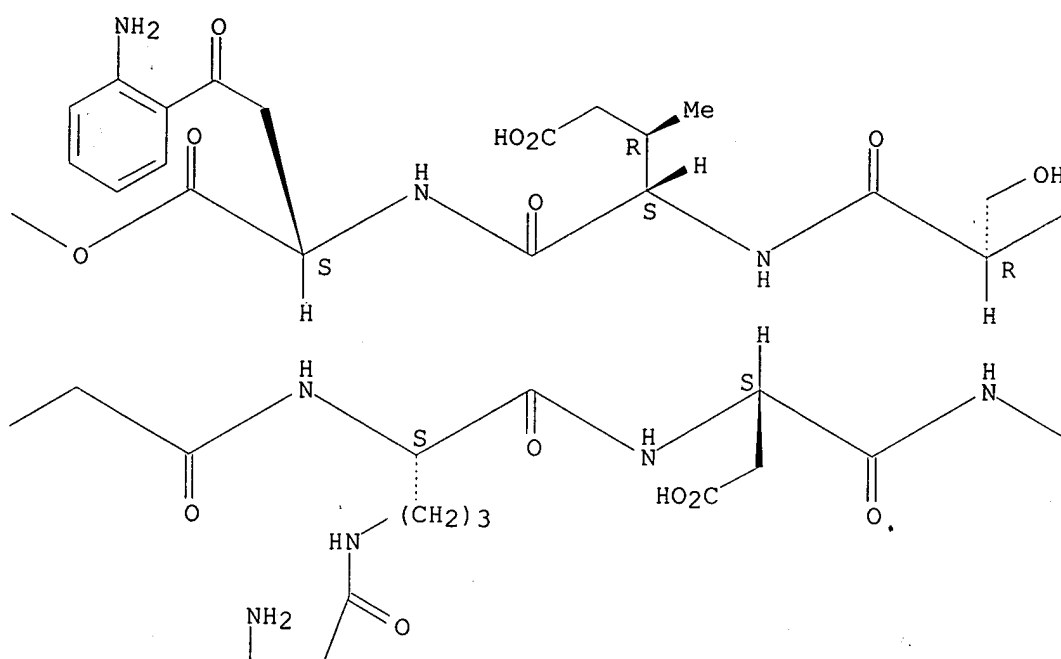
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PAGE 1-A

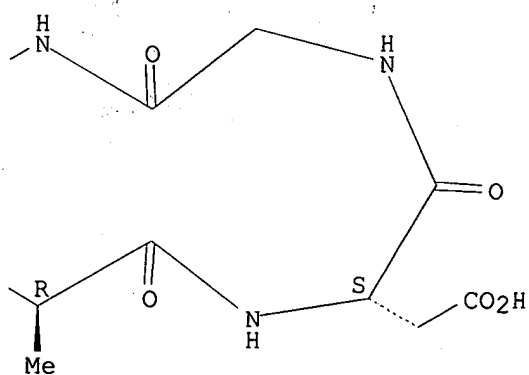




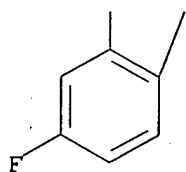
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PAGE 1-C



PAGE 2-B



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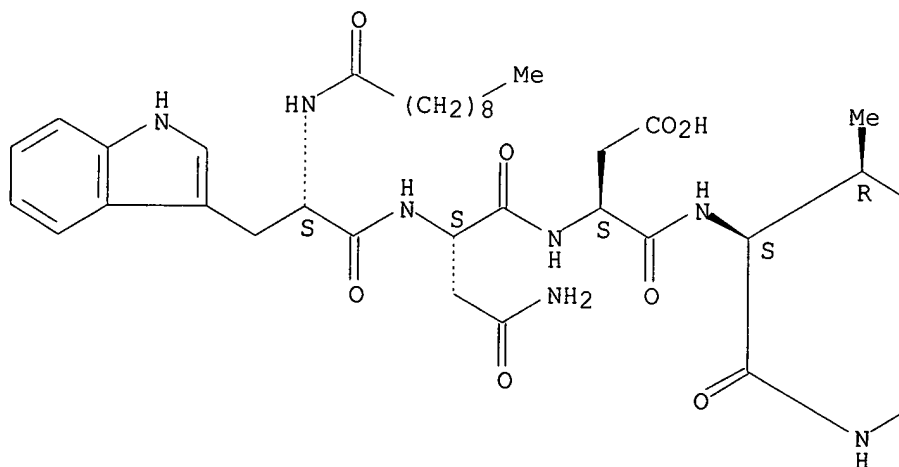
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L21 ANSWER 75 OF 184 REGISTRY COPYRIGHT 2003 ACS  
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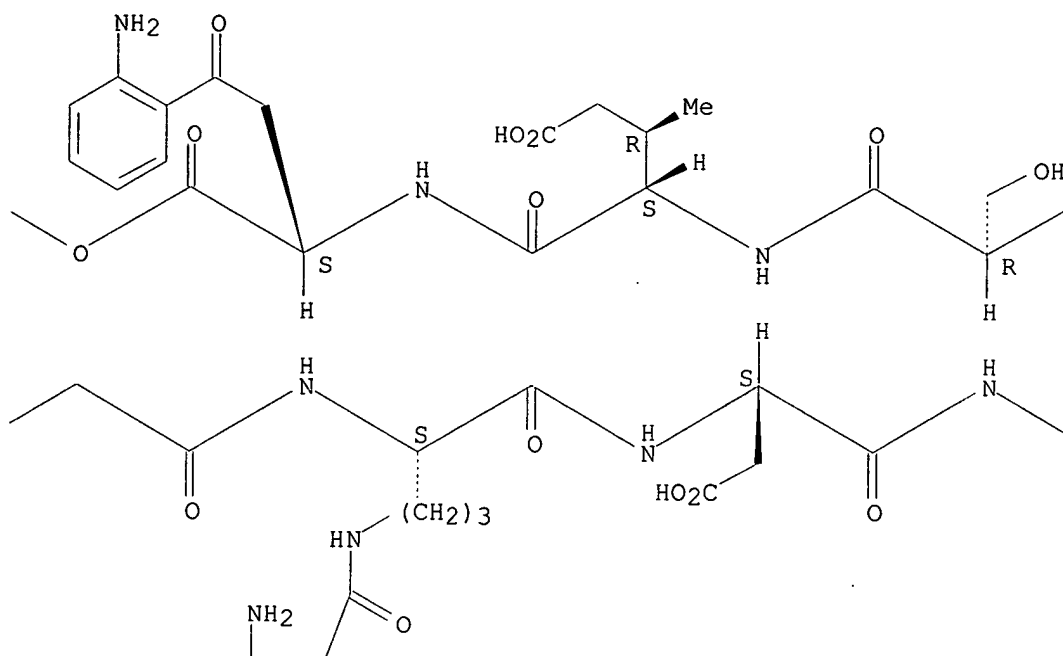
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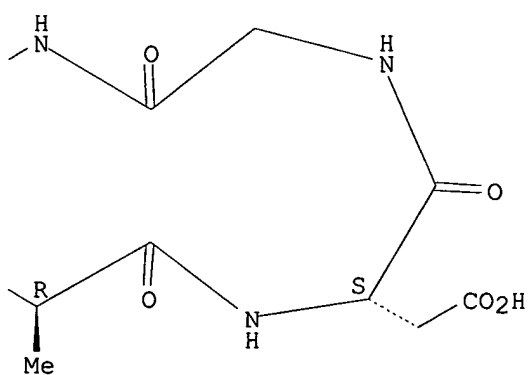
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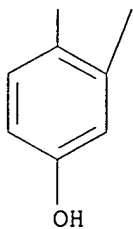
PAGE 1-B



PAGE 1-C



PAGE 2-B



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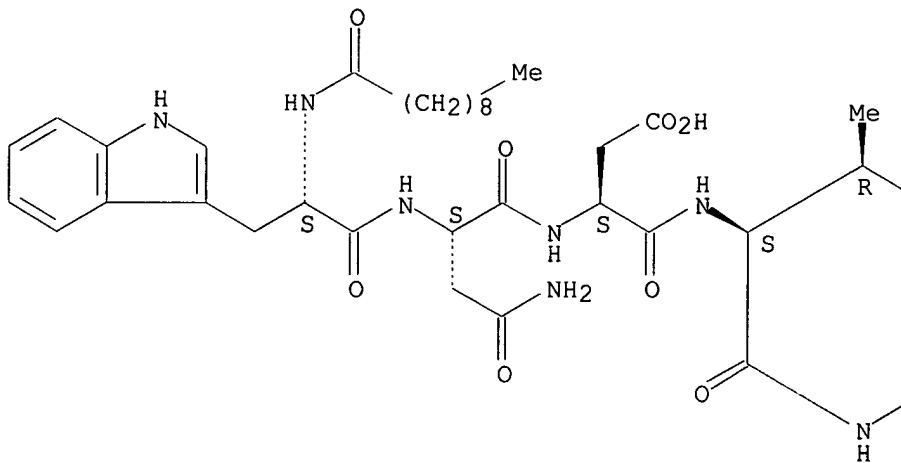
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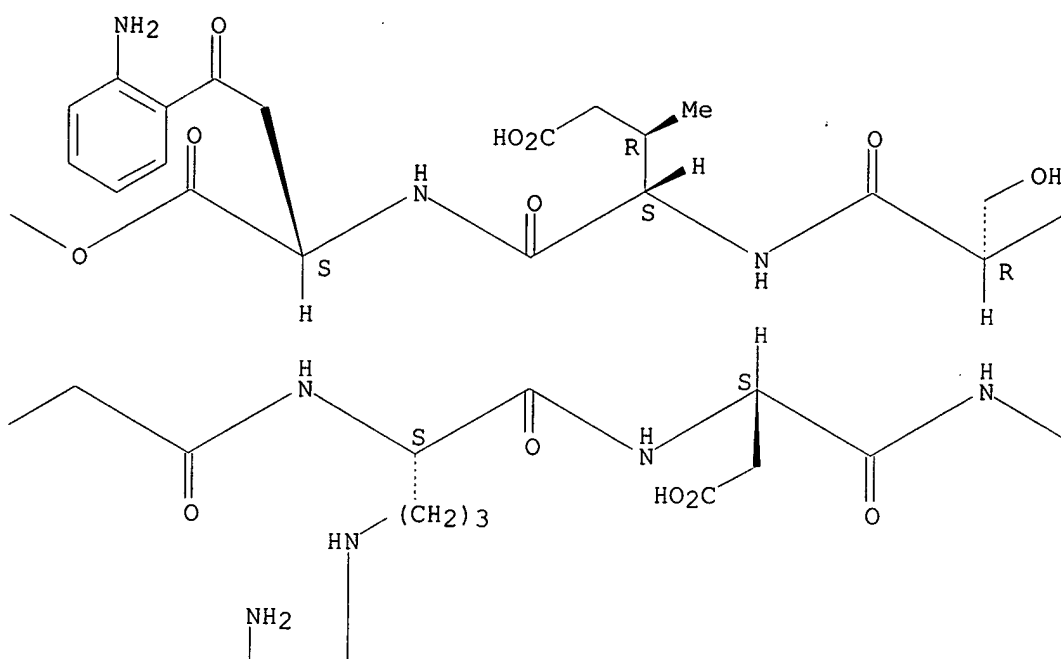
\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

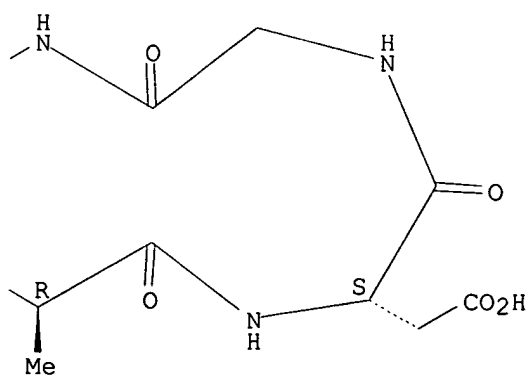
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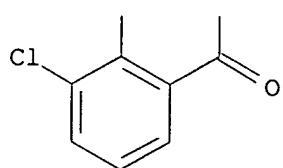
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PAGE 1-C



PAGE 2-B



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1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

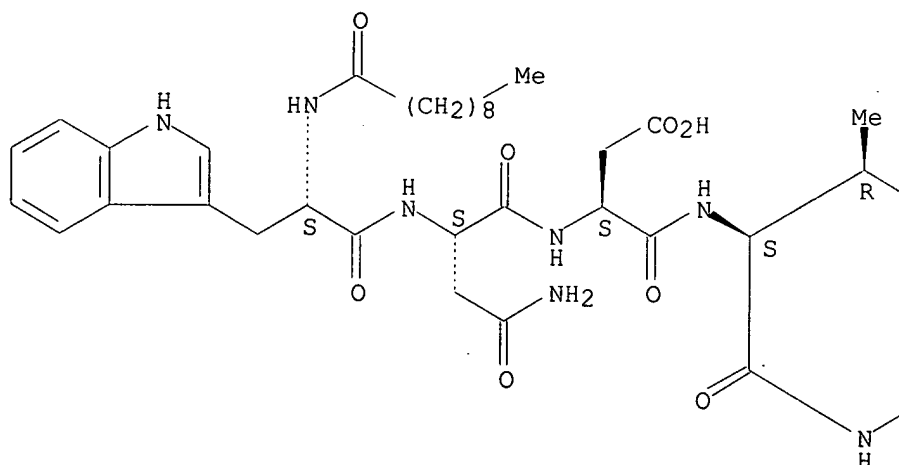
REFERENCE 1: 135:61555

L21 ANSWER 85 OF 184 REGISTRY COPYRIGHT 2003 ACS  
RN 345643-28-9 REGISTRY  
CN Daptomycin, 6-[N5-(2-amino-5-bromobenzoyl)-L-ornithine]- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C79 H105 Br N18 O27  
SR CA  
LC STN Files: CA, CAPLUS

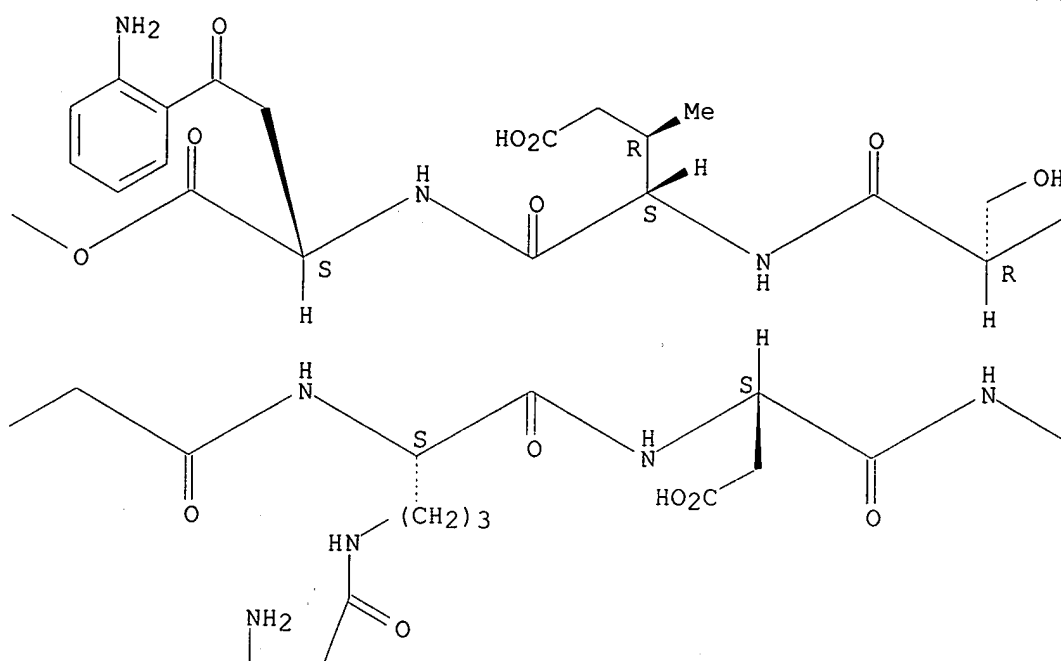
\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

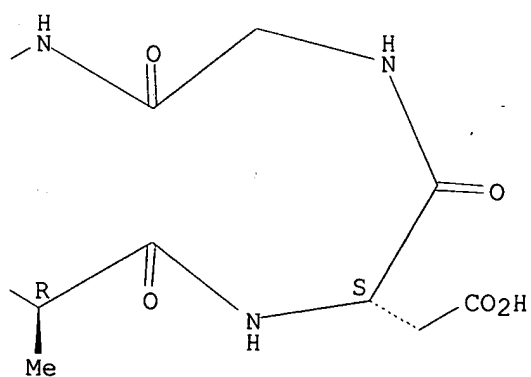
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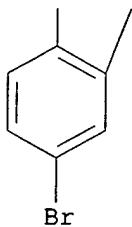
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PAGE 1-C



PAGE 2-B



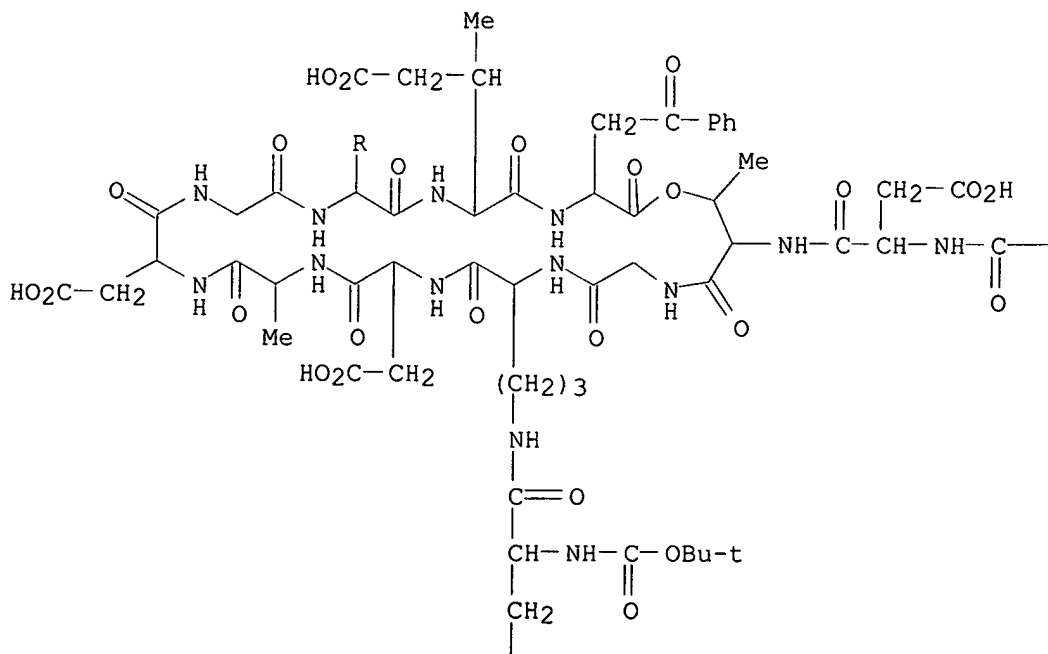
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 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:61555

L21 ANSWER 89 OF 184 REGISTRY COPYRIGHT 2003 ACS  
 RN 345317-60-4 REGISTRY  
 CN Benzenebutanoic acid, N-(1-oxodecyl)-L-tryptophyl-L-asparaginyl-L-.alpha.-  
 aspartyl-L-threonylglycyl-N5-[N-[(1,1-dimethylethoxy)carbonyl]-L-  
 tryptophyl]-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-  
 aspartylglycyl-D-seryl-(3R)-3-methyl-L-.alpha.-glutamyl-.alpha.-amino-  
 .gamma.-oxo-, (13.fwdarw.4)-lactone, (.alpha.S)- (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C88 H118 N18 O29  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

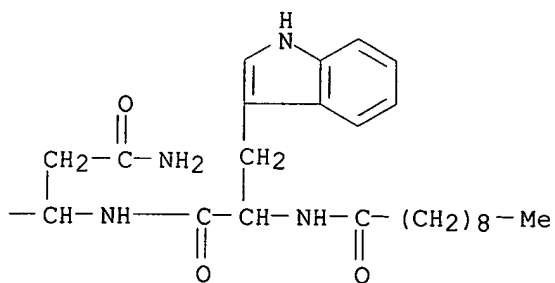
\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

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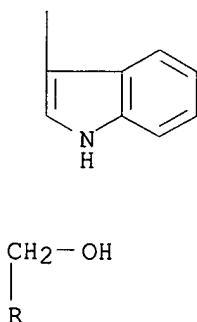




PAGE 1-B



PAGE 2-A



2 REFERENCES IN FILE CA (1962 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:61555

REFERENCE 2: 135:61553

L21 ANSWER 94 OF 184 REGISTRY COPYRIGHT 2003 ACS

RN 345311-97-9 REGISTRY

CN Benzenebutanoic acid, N-[(octylamino)carbonyl]-L-tryptophyl-L-asparaginyll-  
 L-.alpha.-aspartyl-L-threonylglycyl-N5-[N-[(1,1-dimethylethoxy)carbonyl]-L-  
 tryptophyl]-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-  
 aspartylglycyl-D-seryl-(3R)-3-methyl-L-.alpha.-glutamyl-.alpha.,2-diamino-  
 .gamma.-oxo-, (13.fwdarw.4)-lactone, (.alpha.S)- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

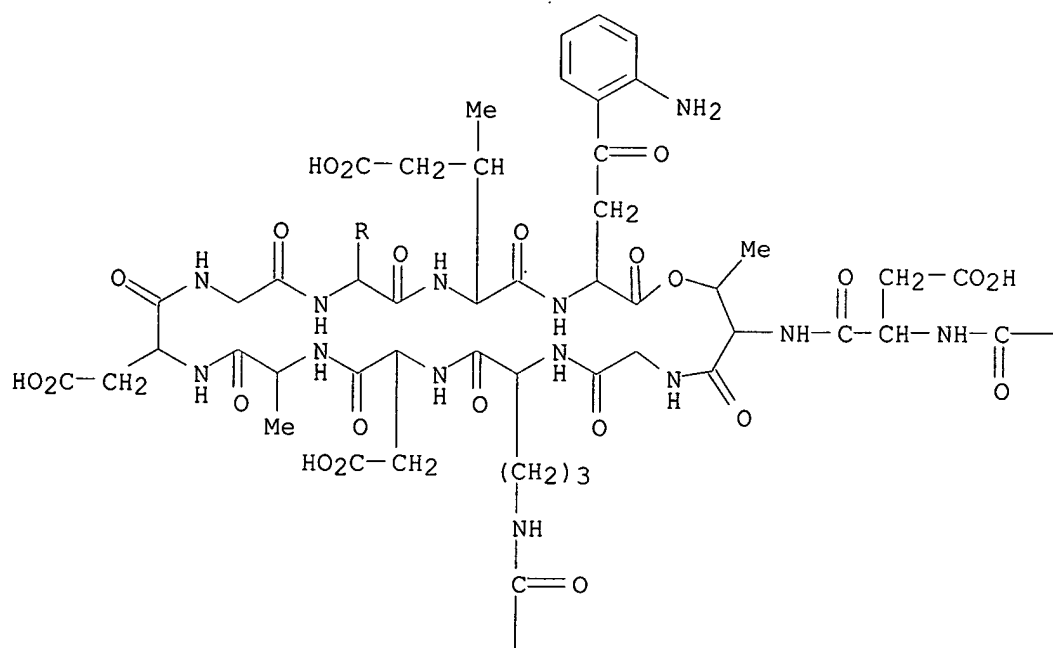
MF C87 H118 N20 O29

SR CA

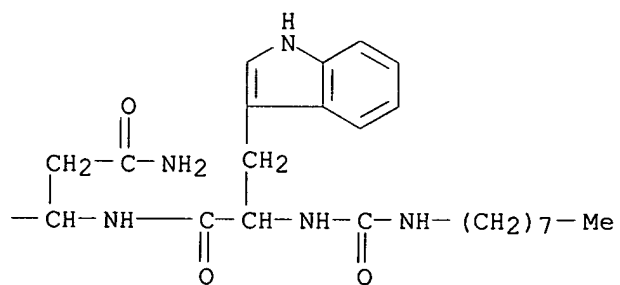
LC STN Files: CA, CAPLUS, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

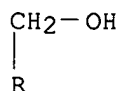
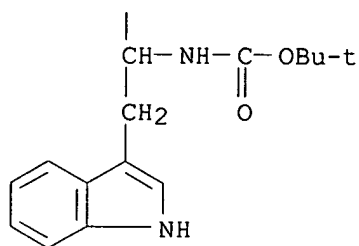
PAGE 1-A



PAGE 1-B



PAGE 2-A



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 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

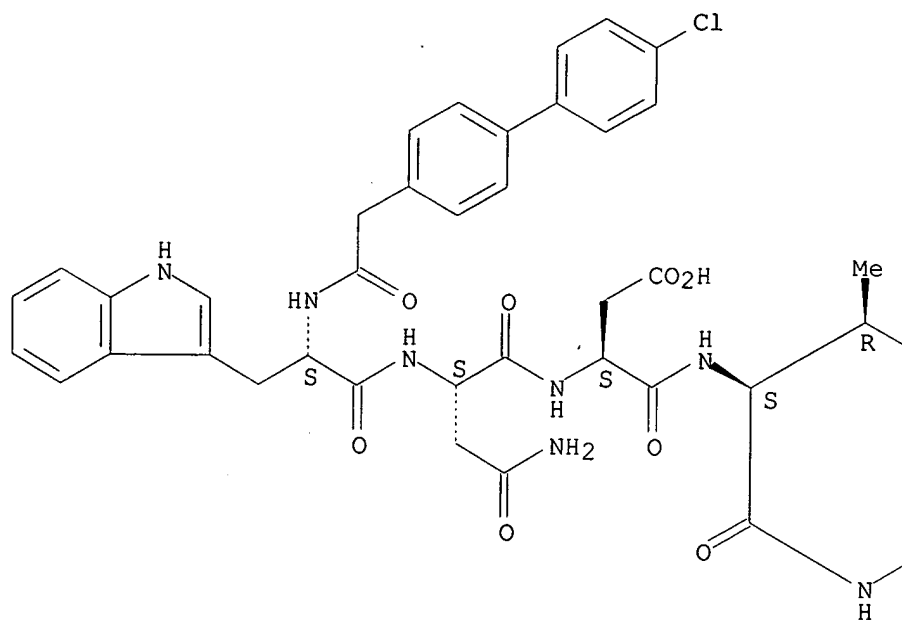
REFERENCE 1: 135:61554

L21 ANSWER 100 OF 184 REGISTRY COPYRIGHT 2003 ACS  
 RN 345311-89-9 REGISTRY  
 CN Benzenebutanoic acid, N-[(4'-chloro[1,1'-biphenyl]-4-yl)acetyl]-L-tryptophyl-L-asparaginyl-L-.alpha.-aspartyl-L-threonylglycyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-aspartylglycyl-D-seryl-(3R)-3-methyl-L-.alpha.-glutamyl-.alpha.,2-diamino-.gamma.-oxo-, (13.fwdarw.4)-lactone, (.alpha.S)- (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C81 H100 Cl N17 O28  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

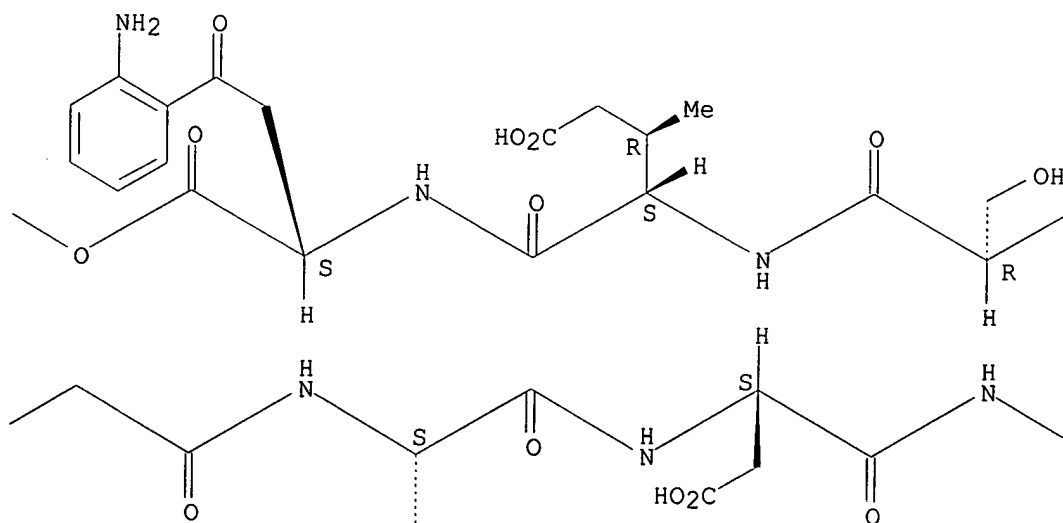
\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

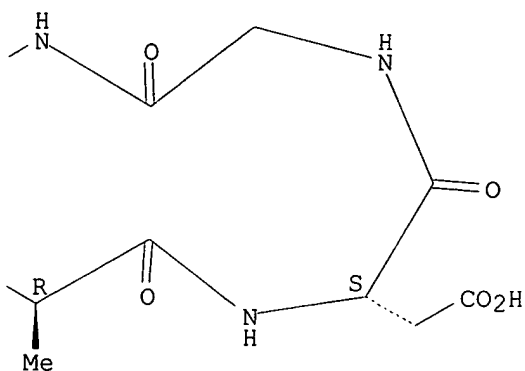
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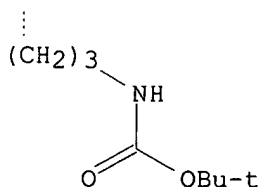
PAGE 1-B



PAGE 1-C



PAGE 2-B



1 REFERENCES IN FILE CA (1962 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:61554

L21 ANSWER 110 OF 184 REGISTRY COPYRIGHT 2003 ACS

RN 345311-60-6 REGISTRY

CN Benzenebutanoic acid, 2-hydroxytetradecanoyl-L-tryptophyl-L-asparaginyl-L-  
 .alpha.-aspartyl-L-threonylglycyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-  
 ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-aspartylglycyl-D-seryl-(3R)-  
 3-methyl-L-.alpha.-glutamyl-.alpha.,2-diamino-.gamma.-oxo-,  
 (14.fwdarw.5)-lactone, (.alpha.S)- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C81 H117 N17 O29

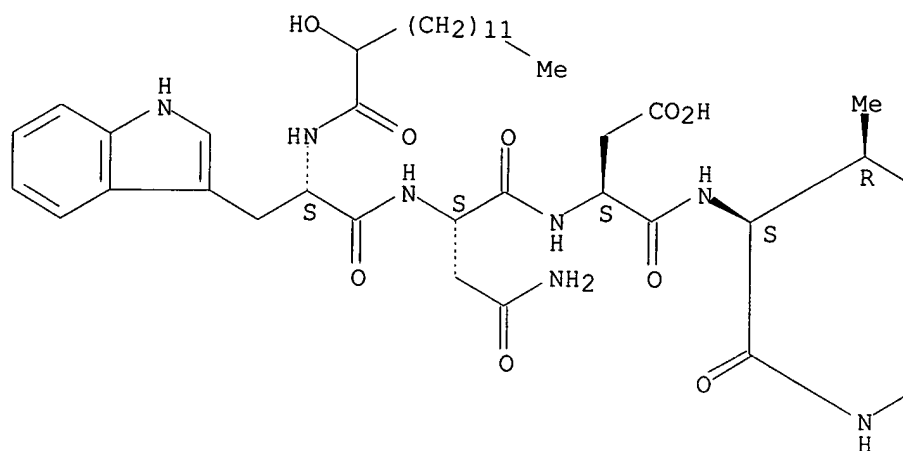
SR CA

LC STN Files: CA, CAPLUS, USPATFULL

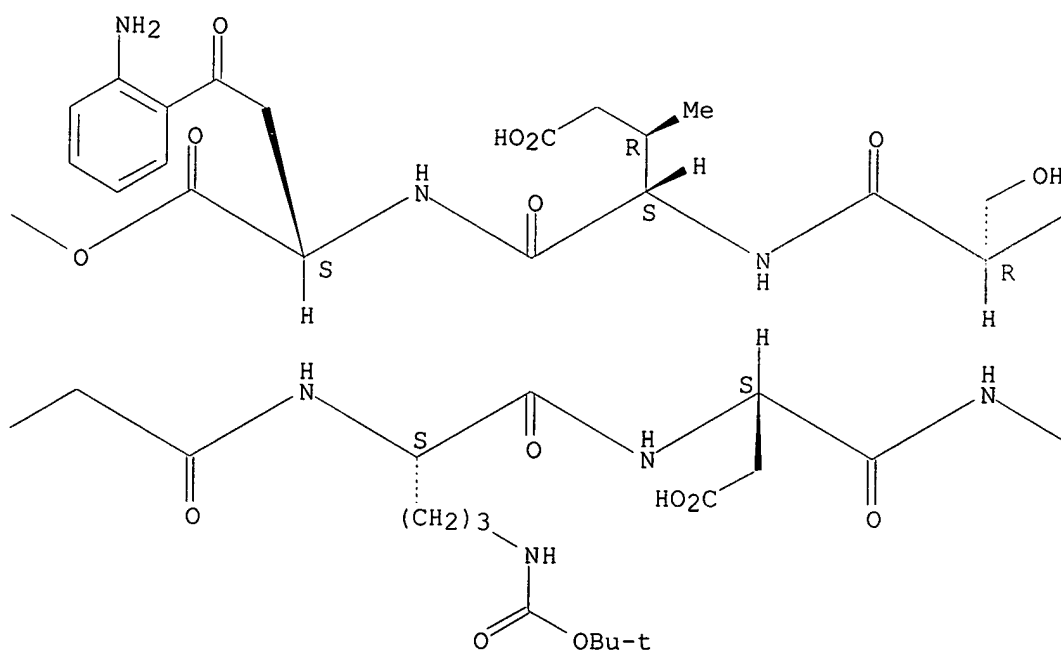
\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

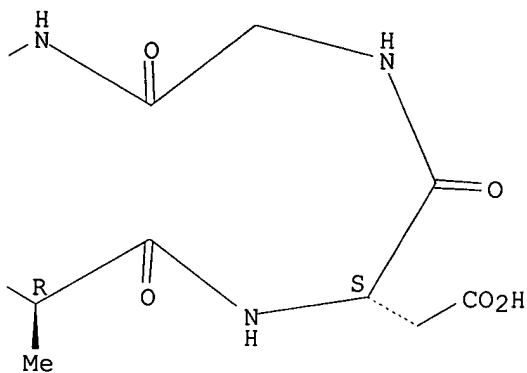
PAGE 1-A



PAGE 1-B



PAGE 1-C



1 REFERENCES IN FILE CA (1962 TO DATE)

1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:61554

L21 ANSWER 120 OF 184 REGISTRY COPYRIGHT 2003 ACS

RN 345311-43-5 REGISTRY

CN Benzenebutanoic acid, N-[(5-chlorobenzo[b]thien-3-yl)acetyl]-L-tryptophyl-L-asparaginyl-L-.alpha.-aspartyl-L-threonylglycyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-aspartylglycyl-D-seryl-(3R)-3-methyl-L-.alpha.-glutamyl-.alpha.,2-diamino-.gamma.-oxo-, (13.fwdarw.4)-lactone, (.alpha.S)- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C77 H96 Cl N17 O28 S

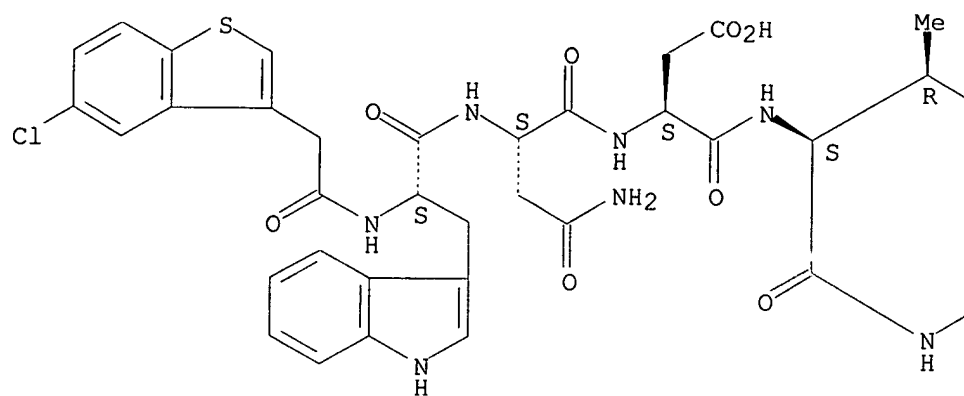
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LC STN Files: CA, CAPLUS, USPATFULL

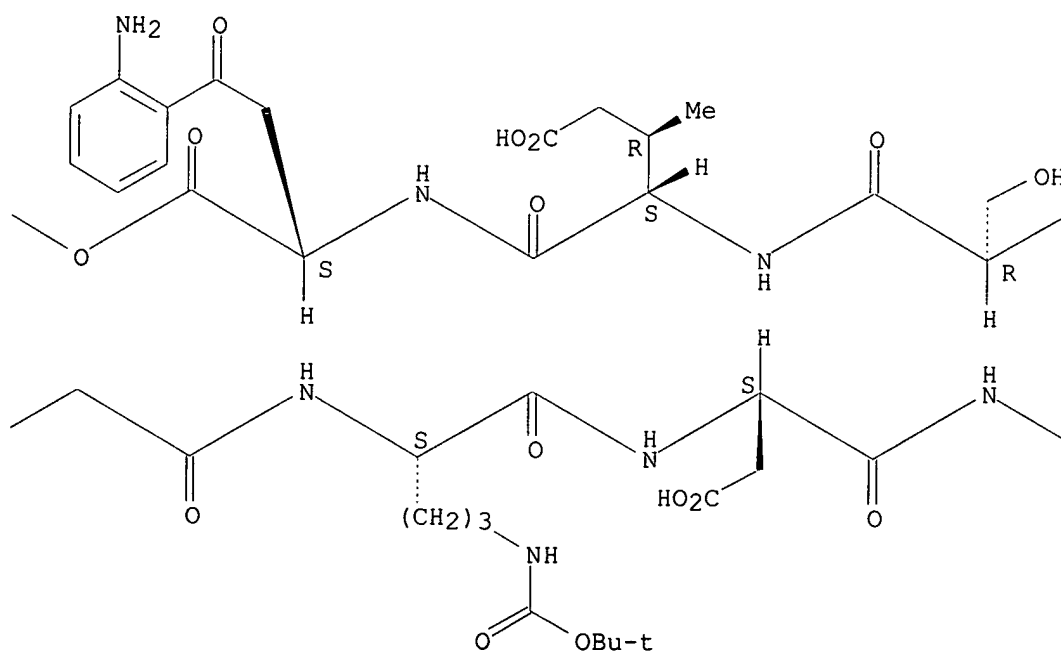
\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

PAGE 1-A

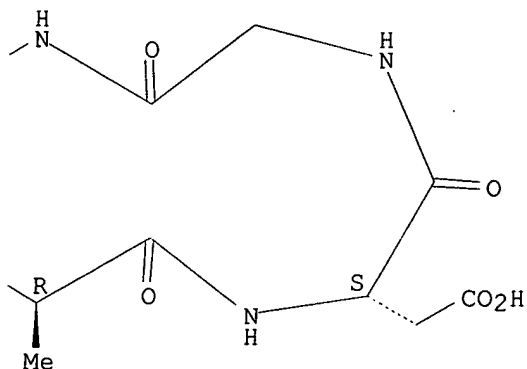


PAGE 1-B





PAGE 1-C



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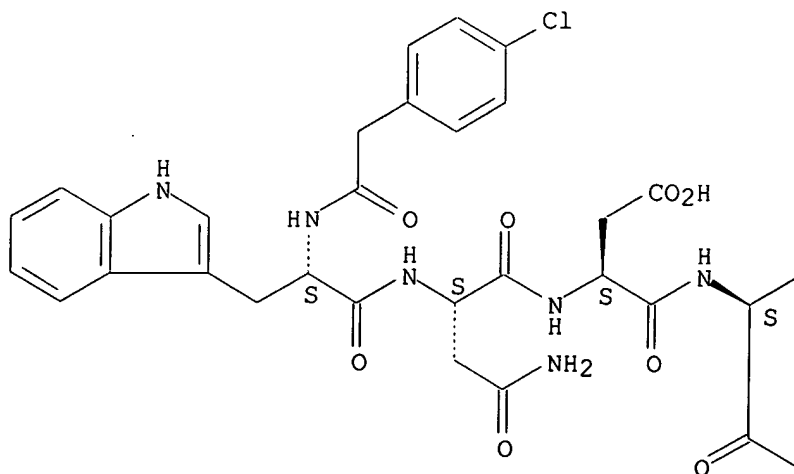
REFERENCE 1: 135:61554

L21 ANSWER 130 OF 184 REGISTRY COPYRIGHT 2003 ACS  
RN 345311-28-6 REGISTRY  
CN Benzenebutanoic acid, N-[(4-chlorophenyl)acetyl]-L-tryptophyl-L-asparaginyl-L-.alpha.-aspartyl-L-threonylglycyl-N5-L-tryptophyl-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-aspartylglycyl-D-seryl-(3R)-3-methyl-L-.alpha.-glutamyl-.alpha.,2-diamino-.gamma.-oxo-, (13.fwdarw.4)-lactone, (.alpha.S)- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C81 H98 Cl N19 O27  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

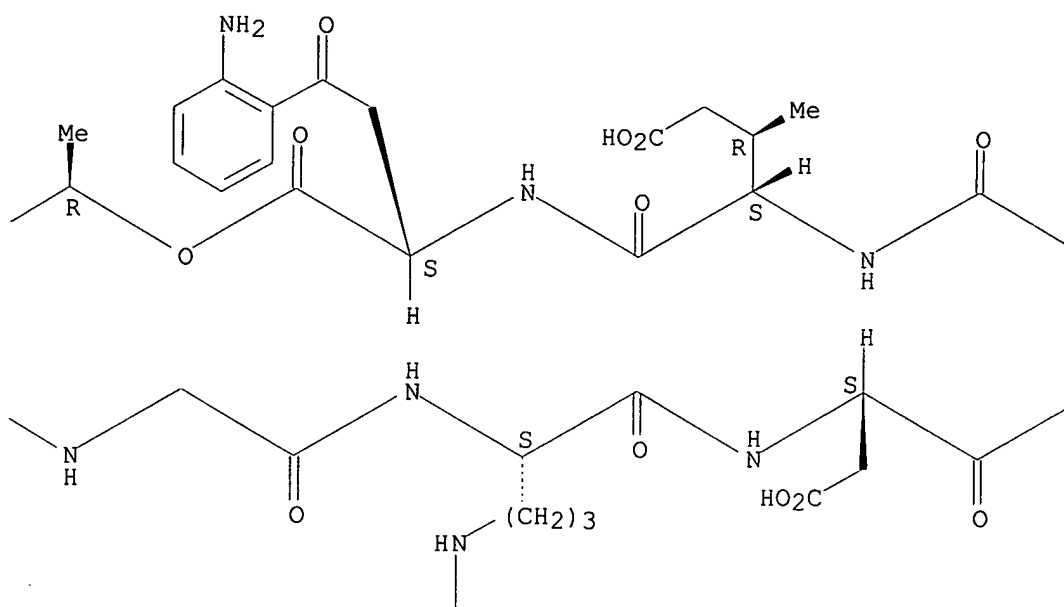
\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

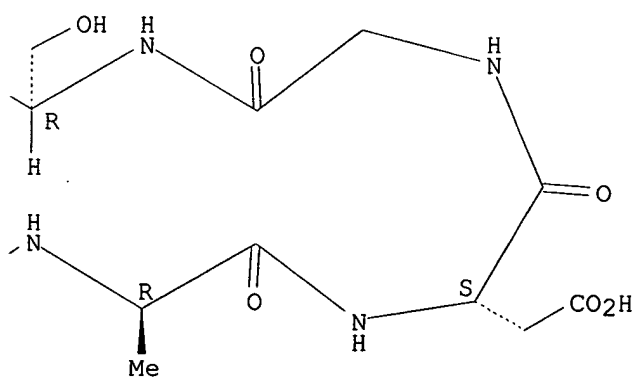
PAGE 1-A



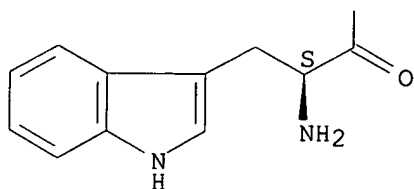
PAGE 1-B



PAGE 1-C



PAGE 2-B



2 REFERENCES IN FILE CA (1962 TO DATE)

2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:61555

REFERENCE 2: 135:61554

L21 ANSWER 141 OF 184 REGISTRY COPYRIGHT 2003 ACS

RN 119723-60-3 REGISTRY

CN Daptomycin, 1-[N-[N-(1-oxodecyl)-L-phenylalanyl]-L-tryptophan]-6-[N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithine]- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1-Oxa-4,7,10,13,16,19,22,25,28-nonaazacyclohentriacontane, cyclic peptide deriv.

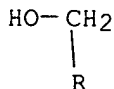
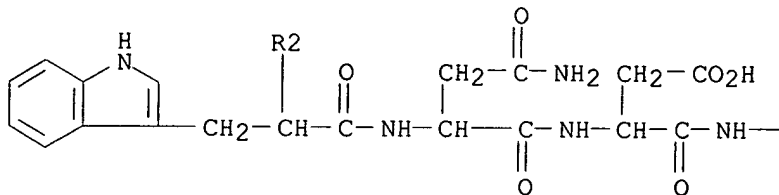
FS PROTEIN SEQUENCE

MF C86 H118 N18 O29

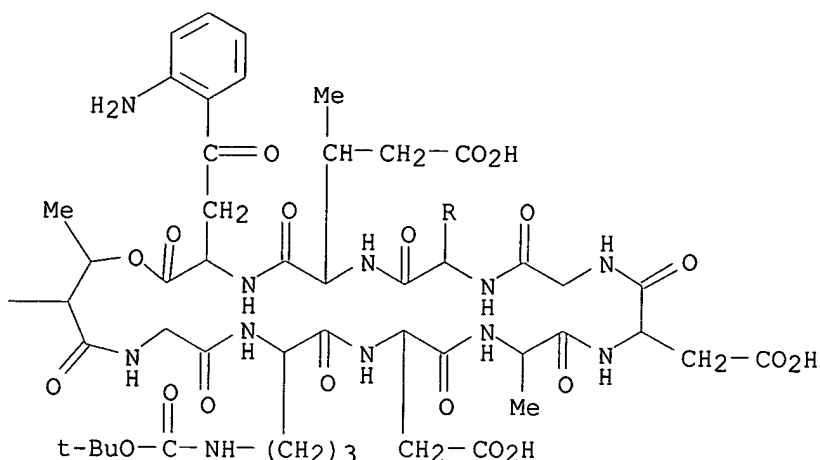
SR CA

LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER

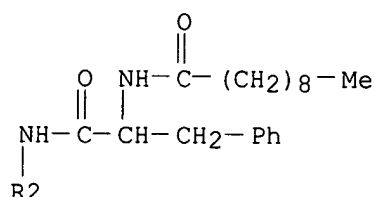
PAGE 1-A



PAGE 1-B



PAGE 2-A



1 REFERENCES IN FILE CA (1962 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 110:154818

L21 ANSWER 142 OF 184 REGISTRY COPYRIGHT 2003 ACS

RN 89927-97-9 REGISTRY

CN Butanoic acid, N-(1-oxo-9,12,15-octadecatrienyl)-L-tryptophyl-L-.alpha.-aspartyl-L-.alpha.-aspartyl-L-threonylglycyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-aspartylglycyl-D-seryl-threo-3-methyl-L-.alpha.-glutamyl-4-(2-aminophenyl)-4-oxo-L-2-amino-, .epsilon.1-lactone (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

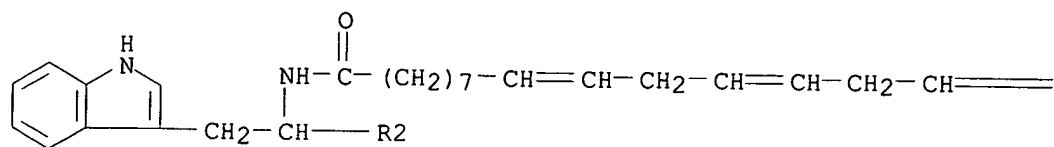
CN 1-Oxa-4,7,10,13,16,19,22,25,28-nonaazacyclohentriacontane, cyclic peptide deriv.

CN Butanoic acid, N-(1-oxo-9,12,15-octadecatrienyl)-L-tryptophyl-L-.alpha.-aspartyl-L-.alpha.-aspartyl-L-threonylglycyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-aspartylglycyl-D-seryl-threo-3-methyl-L-.alpha.-glutamyl-.gamma.-(2-aminophenyl)-.gamma.-oxo-L-.alpha.-amino-, .epsilon.1-lactone

MF C85 H118 N16 O29

LC STN Files: CA, CAPLUS, TOXCENTER

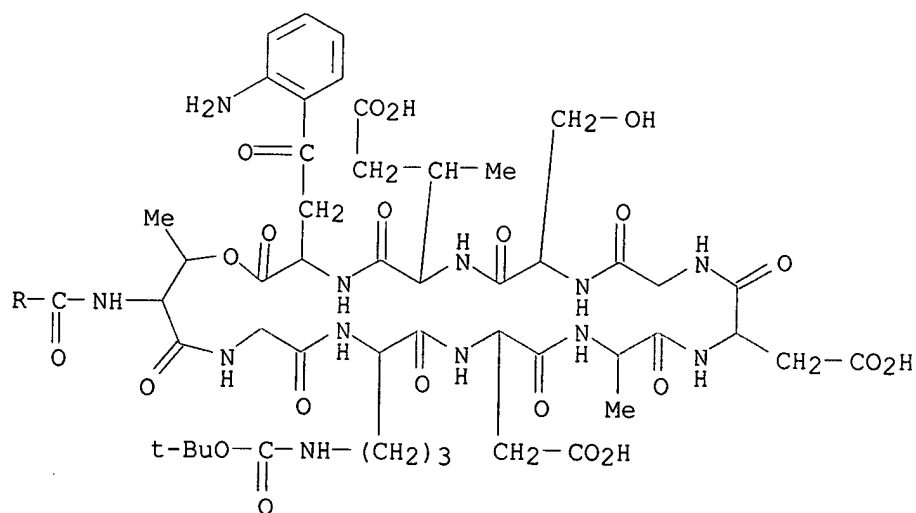
PAGE 1-A



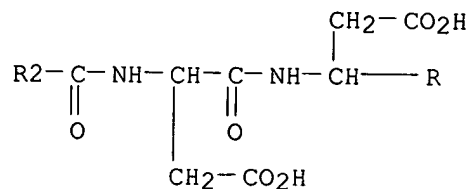
PAGE 1-B

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PAGE 2-A



PAGE 3-A



\*\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*\*

1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 101:38829

L21 ANSWER 158 OF 184 REGISTRY COPYRIGHT 2003 ACS

RN 88784-81-0 REGISTRY

CN Butanoic acid, N-[4-(dodecyloxy)benzoyl]-L-tryptophyl-L-.alpha.-aspartyl-L-.alpha.-aspartyl-L-threonylglycyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-aspartylglycyl-D-seryl-threo-3-methyl-L-.alpha.-glutamyl-4-(2-aminophenyl)-4-oxo-L-2-amino-, .epsilonpsilon.1-lactone (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

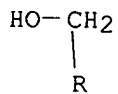
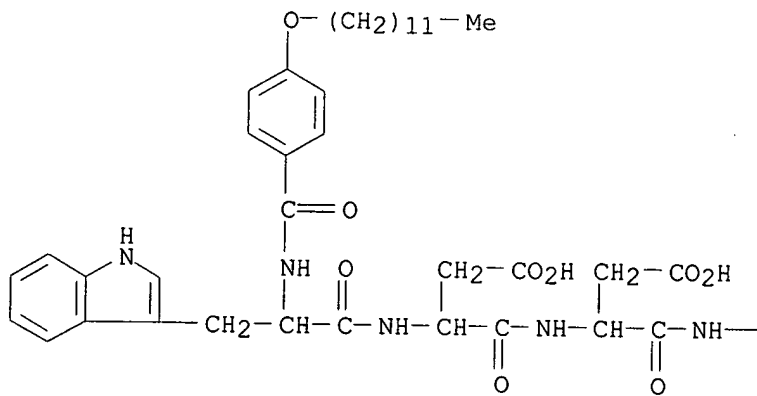
CN 1-Oxa-4,7,10,13,16,19,22,25,28-nonaazacyclohentriacontane, cyclic peptide deriv.

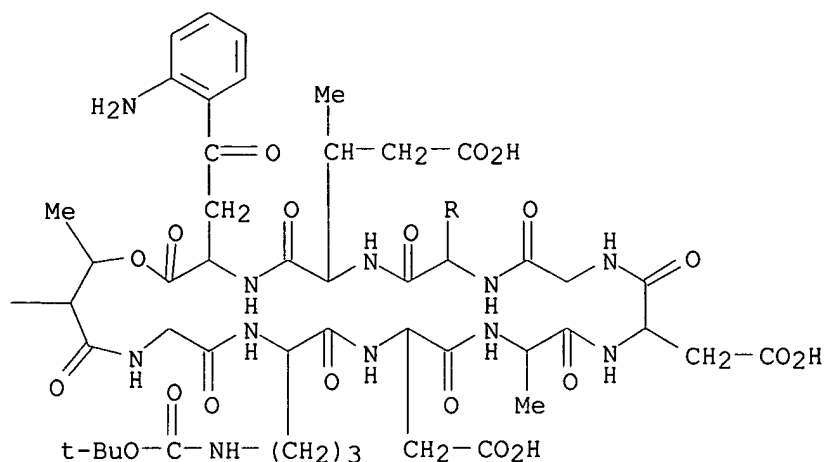
CN Butanoic acid, N-[4-(dodecyloxy)benzoyl]-L-tryptophyl-L-.alpha.-aspartyl-L-.alpha.-aspartyl-L-threonylglycyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-aspartylglycyl-D-seryl-threo-3-methyl-L-.alpha.-glutamyl-.gamma.-(2-aminophenyl)-.gamma.-oxo-L-.alpha.-amino-, .epsilonpsilon.1-lactone

MF C86 H118 N16 O30

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PAGE 1-A





\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 101:38829

REFERENCE 2: 100:86126

L21 ANSWER 159 OF 184 REGISTRY COPYRIGHT 2003 ACS

RN 88547-98-2 REGISTRY

CN Butanoic acid, N-(1-oxododecyl)-L-phenylalanyl-L-tryptophyl-L-.alpha.-aspartyl-L-.alpha.-aspartyl-L-threonylglycyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-aspartylglycyl-D-seryl-threo-3-methyl-L-.alpha.-glutamyl-4-(2-aminophenyl)-4-oxo-L-2-amino-, .epsilon.1-lactone (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1-Oxa-4,7,10,13,16,19,22,25,28-nonaazacyclohentriacontane, cyclic peptide deriv.

CN Butanoic acid, N-(1-oxododecyl)-L-phenylalanyl-L-tryptophyl-L-.alpha.-aspartyl-L-.alpha.-aspartyl-L-threonylglycyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-aspartylglycyl-D-seryl-threo-3-methyl-L-.alpha.-glutamyl-.gamma.-(2-aminophenyl)-.gamma.-oxo-L-.alpha.-amino-, .epsilon.1-lactone

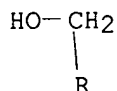
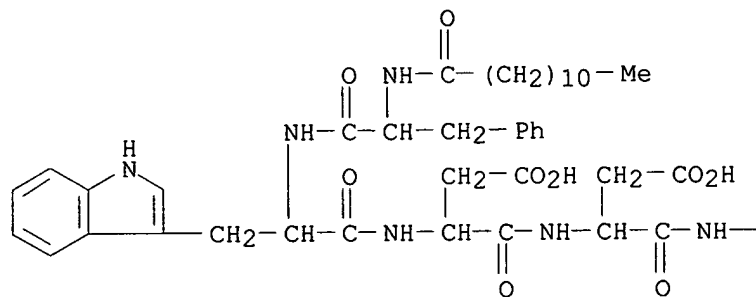
FS PROTEIN SEQUENCE

MF C88 H121 N17 O30

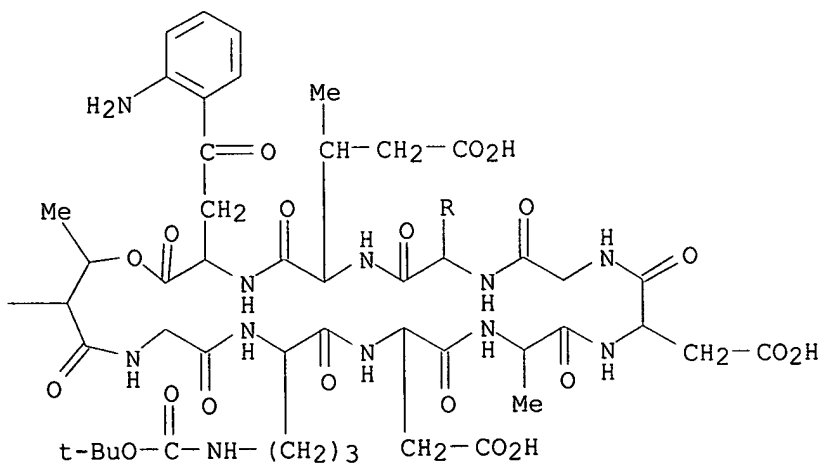
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

PAGE 1-A



PAGE 1-B



2 REFERENCES IN FILE CA (1962 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 101:38829

REFERENCE 2: 100:68739

L21 ANSWER 160 OF 184 REGISTRY COPYRIGHT 2003 ACS  
RN 88526-67-4 REGISTRY  
CN Butanoic acid, N-(10-methyl-1-oxododecyl)-L-tryptophyl-L-.alpha.-aspartyl-L-.alpha.-aspartyl-L-threonylglycyl-N5-[N-(1-oxohexyl)-L-tryptophyl]-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-aspartylglycyl-D-seryl-threo-3-methyl-L-.alpha.-glutamyl-4-(2-aminophenyl)-4-oxo-L-2-amino-



.epsilon.1-lactone (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1-Oxa-4,7,10,13,16,19,22,25,28-nonaazacyclohentriacontane, cyclic peptide deriv.

CN Butanoic acid, N-(10-methyl-1-oxododecyl)-L-tryptophyl-L-.alpha.-aspartyl-L-.alpha.-aspartyl-L-threonylglycyl-N5-[N-(1-oxohexyl)-L-tryptophyl]-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-aspartylglycyl-D-seryl-threo-3-methyl-L-.alpha.-glutamyl-.gamma.-(2-aminophenyl)-.gamma.-oxo-L-.alpha.-amino-, .epsilon.1-lactone

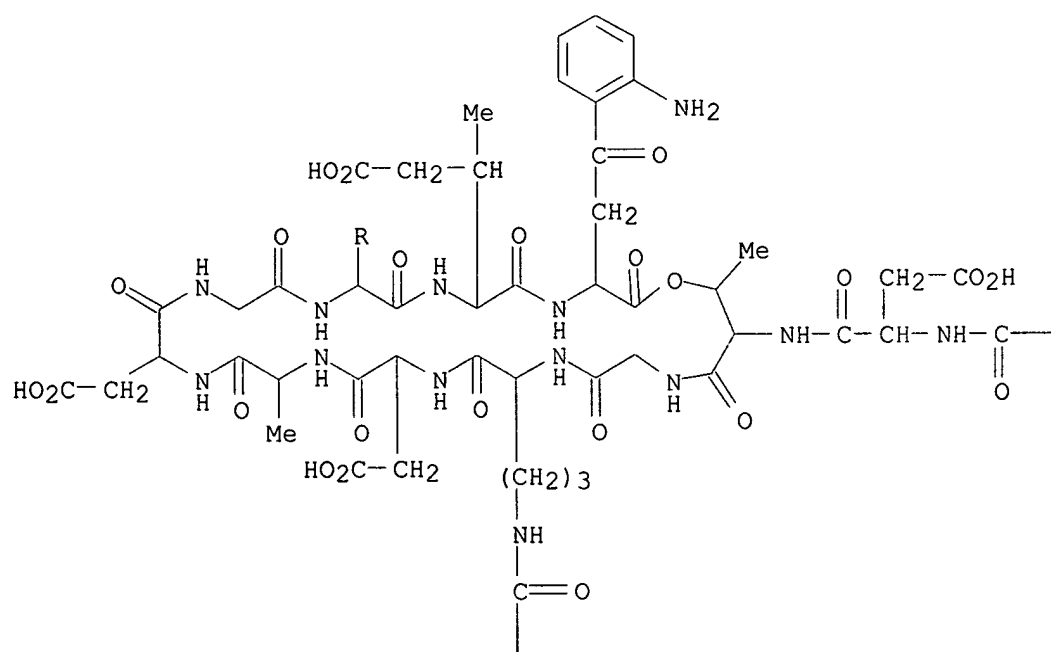
FS PROTEIN SEQUENCE

MF C92 H126 N18 O29

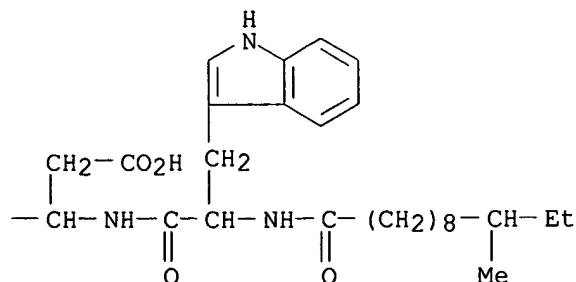
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

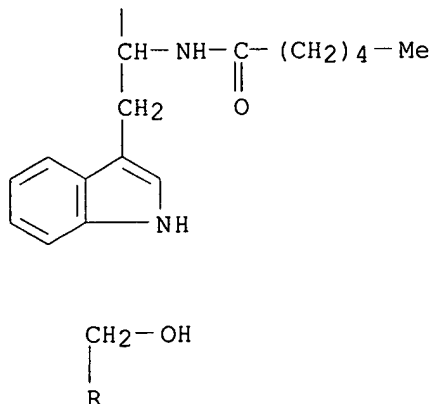
PAGE 1-A



PAGE 1-B



PAGE 2-A



2 REFERENCES IN FILE CA (1962 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 101:38829

REFERENCE 2: 100:68739

L21 ANSWER 161 OF 184 REGISTRY COPYRIGHT 2003 ACS

RN 88513-68-2 REGISTRY

CN Butanoic acid, N-(1-oxoundecyl)-L-phenylalanyl-L-tryptophyl-L-.alpha.-aspartyl-L-.alpha.-aspartyl-L-threonylglycyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-aspartylglycyl-D-seryl-threo-3-methyl-L-.alpha.-glutamyl-4-(2-aminophenyl)-4-oxo-L-2-amino-, .epsilon.1-lactone (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1-Oxa-4,7,10,13,16,19,22,25,28-nonaazacyclohentriacontane, cyclic peptide deriv.

CN Butanoic acid, N-(1-oxoundecyl)-L-phenylalanyl-L-tryptophyl-L-.alpha.-aspartyl-L-.alpha.-aspartyl-L-threonylglycyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-aspartylglycyl-D-seryl-threo-3-methyl-L-.alpha.-glutamyl-.gamma.-(2-aminophenyl)-.gamma.-oxo-L-.alpha.-amino-, .epsilon.1-lactone

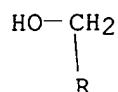
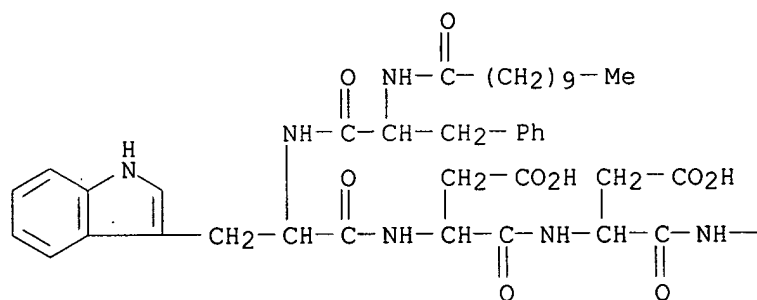
FS PROTEIN SEQUENCE

MF C87 H119 N17 O30

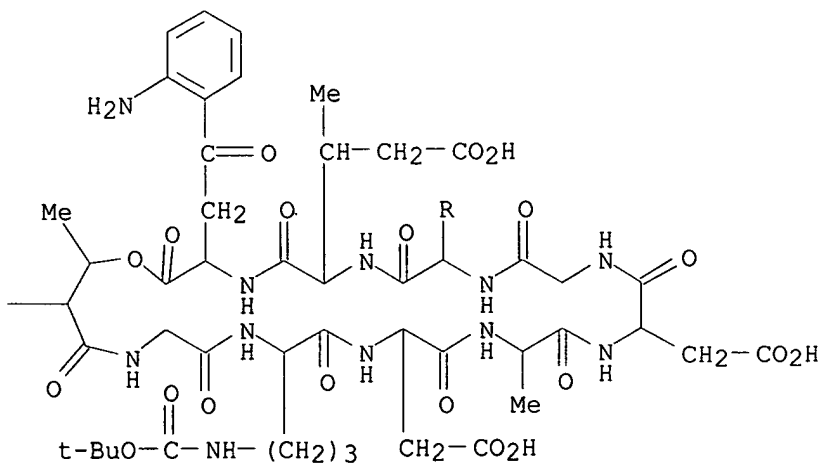
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

PAGE 1-A



PAGE 1-B



2 REFERENCES IN FILE CA (1962 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 101:38829

REFERENCE 2: 100:68739

L21 ANSWER 164 OF 184 REGISTRY COPYRIGHT 2003 ACS

RN 88501-93-3 REGISTRY

CN Butanoic acid, N-(10-methyl-1-oxododecyl)-L-tryptophyl-L-.alpha.-aspartyl-L-.alpha.-aspartyl-L-threonylglycyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-aspartylglycyl-D-seryl-threo-3-methyl-L-.alpha.-glutamyl-4-(2-aminophenyl)-4-oxo-L-2-amino-,

.epsilon.1-lactone (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

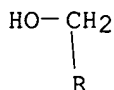
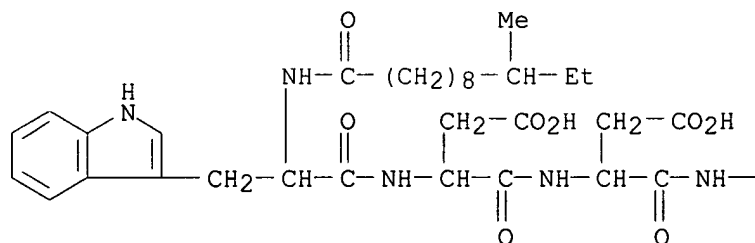
CN 1-Oxa-4,7,10,13,16,19,22,25,28-nonaazacyclohentriacontane, cyclic peptide deriv.

CN Butanoic acid, N-(10-methyl-1-oxododecyl)-L-tryptophyl-L-.alpha.-aspartyl-L-.alpha.-aspartyl-L-threonylglycyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-aspartylglycyl-D-seryl-threo-3-methyl-L-.alpha.-glutamyl-.gamma.-(2-aminophenyl)-.gamma.-oxo-L-.alpha.-amino-, .epsilon.1-lactone

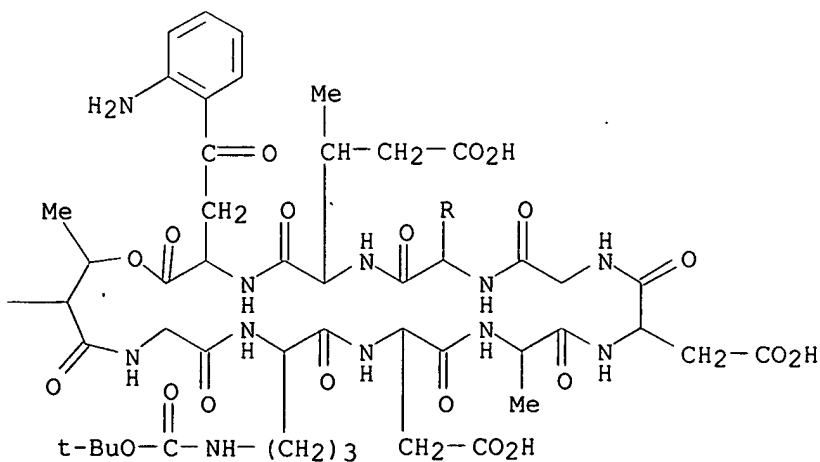
MF C80 H114 N16 O29

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PAGE 1-A



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\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1962 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 101:38829

REFERENCE 2: 100:86126

REFERENCE 3: 100:68739

L21 ANSWER 184 OF 184 REGISTRY COPYRIGHT 2003 ACS

RN 88501-72-8 REGISTRY

CN Butanoic acid, N-(8-methyl-1-oxodecyl)-L-tryptophyl-L-.alpha.-aspartyl-L-.alpha.-aspartyl-L-threonylglycyl-N5-(11-amino-1-oxoundecyl)-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-aspartylglycyl-D-seryl-threo-3-methyl-L-.alpha.-glutamyl-4-(2-aminophenyl)-4-oxo-L-2-amino-, .epsilon.1-lactone (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1-Oxa-4,7,10,13,16,19,22,25,28-nonaazacyclohentriacontane, cyclic peptide deriv.

CN Butanoic acid, N-(8-methyl-1-oxodecyl)-L-tryptophyl-L-.alpha.-aspartyl-L-.alpha.-aspartyl-L-threonylglycyl-N5-(11-amino-1-oxoundecyl)-L-ornithyl-L-.alpha.-aspartyl-D-alanyl-L-.alpha.-aspartylglycyl-D-seryl-threo-3-methyl-L-.alpha.-glutamyl-.gamma.-(2-aminophenyl)-.gamma.-oxo-L-.alpha.-amino-, .epsilon.1-lactone

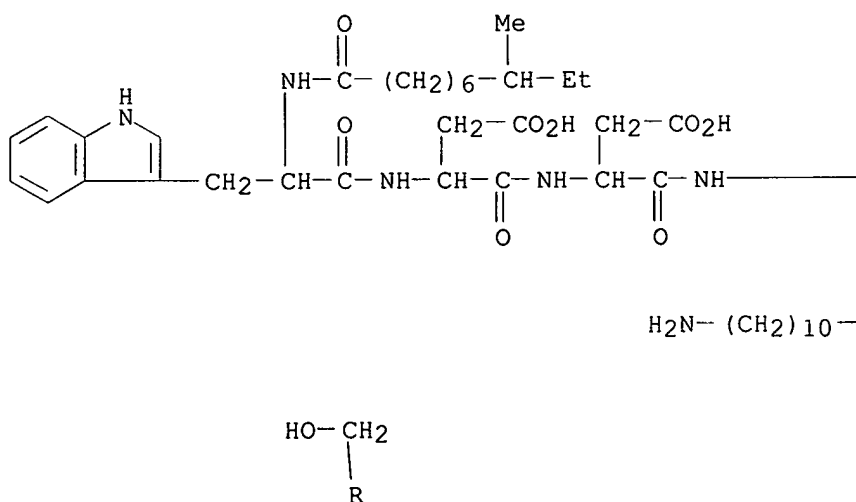
FS PROTEIN SEQUENCE

MF C84 H123 N17 O28

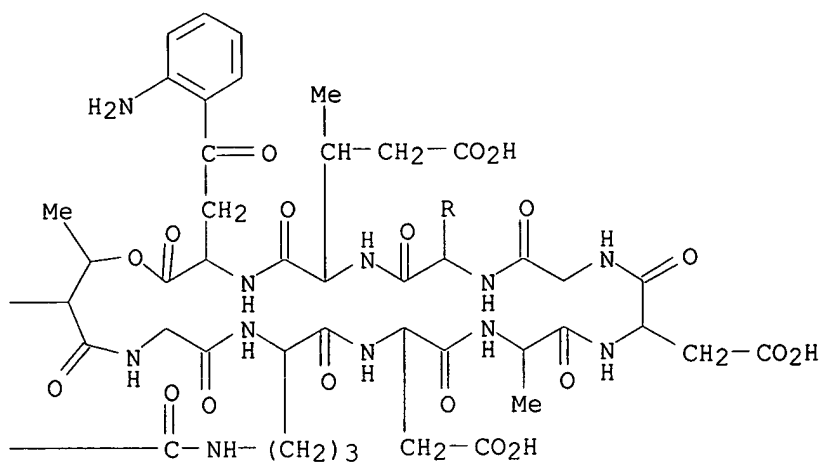
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

PAGE 1-A



PAGE 1-B



2 REFERENCES IN FILE CA (1962 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 101:38829

REFERENCE 2: 100:68739

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